

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1617SXK

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:25:29 ON 14 JUN 2006

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
0.21 0.21

FILE 'REGISTRY' ENTERED AT 14:25:51 ON 14 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 13 JUN 2006 HIGHEST RN 887650-39-7
DICTIONARY FILE UPDATES: 13 JUN 2006 HIGHEST RN 887650-39-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

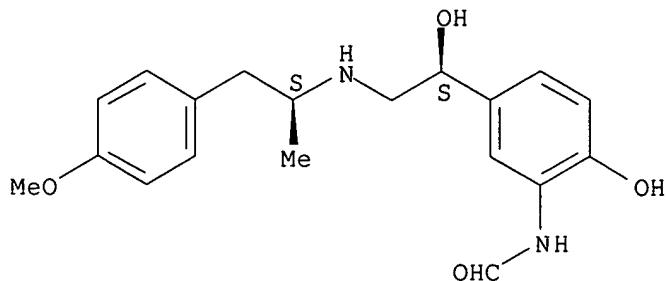
<http://www.cas.org/ONLINE/UG/regprops.html>

=> s formoterol/cn
L1 1 FORMOTEROL/CN

=> d str cn rn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN Formamide, N-[2-hydroxy-5-[(1R)-1-hydroxy-2-[(1R)-2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Formamide, N-[2-hydroxy-5-[1-hydroxy-2-[(2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, (R*,R*)-(±)-

OTHER NAMES:

CN (±)Formoterol

CN Eformoterol

CN Formamide, N-[2-hydroxy-5-[1-hydroxy-2-[(2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, (R*,R*)-

CN **Formoterol**

CN Oxis

RN 73573-87-2 REGISTRY

=> s fluticasone

L2 7 FLUTICASONE

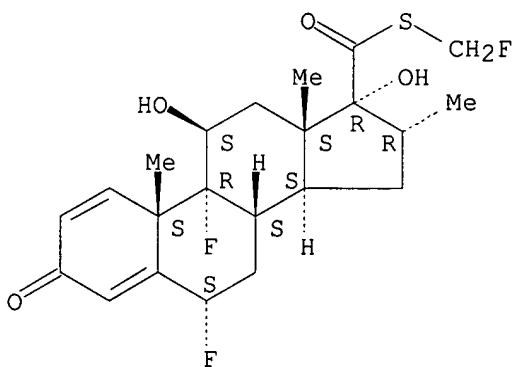
=> s fluticasone/cn

L3 1 FLUTICASONE/CN

=> d L3 str cn rn

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(fluoromethyl) ester, (6α,11β,16α,17.alp ha.)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Fluticasone
RN 90566-53-3 REGISTRY

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| | 19.40 | 19.61 |

FILE 'CAPLUS' ENTERED AT 14:27:38 ON 14 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 Jun 2006 VOL 144 ISS 25
FILE LAST UPDATED: 13 Jun 2006 (20060613/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 73573-87-2
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L5 646 L4

=> s 90566-53-3/rn
391 90566-53-3
19 90566-53-3D
L6 379 90566-53-3/RN
(90566-53-3 (NOTL) 90566-53-3D)

=> s L5 and L6

L7 87 L5 AND L6

=> dup rem L7
PROCESSING COMPLETED FOR L7
L8 87 DUP REM L7 (0 DUPLICATES REMOVED)

=> s L8 and (AY<2002 or PY<2002 or PRY<2002)
L9 87 S L8
4142168 AY<2002
21819042 PY<2002

3591199 PRY<2002
L10 41 L9 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> d 1-41 ibib abs

L10 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:95263 CAPLUS
DOCUMENT NUMBER: 140:151934
TITLE: Formulations of antiallergic agents with lactalbumin hydrolyzate
INVENTOR(S): Goerne, Martin
PATENT ASSIGNEE(S): Kosmas K.-G., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|------------------|----------------------------------|
| DE 10158036 | A1 | 20040205 | DE 2001-10158036 | 20011127 <--
DE 2001-10158036 |

PRIORITY APPLN. INFO.:
AB The invention concerns pharmaceutical compns. that contain an allergy inhibitor and lactalbumin hydrolyzate; the lactalbumin hydrolyzate and the allergy inhibitor act syntergetically. Lactalbumin hydrolyzates are prepared by enzymic digestion with papain, pancreatin and at least one bacterial protease followed by series of extns. and dryings with ethanol and isopropanol. Thus a soft gel capsule contained (mg): prednisone 5; lactalbumin hydrolyzate fraction 10; soybean oil 440; soy lecithin 50; silica 5.

L10 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:777110 CAPLUS
DOCUMENT NUMBER: 139:286353
TITLE: Methods and compositions using trefoil peptides for treating lesions of the respiratory epithelium
INVENTOR(S): Podolsky, Daniel K.
PATENT ASSIGNEE(S): The Gi Company, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 362,310.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 2003185838 | A1 | 20031002 | US 2003-431805 | 20030508 <-- |
| US 6221840 | B1 | 20010424 | US 1996-631469 | 19960412 <-- |
| WO 9738712 | A1 | 19971023 | WO 1997-US6004 | 19970411 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 2003114384 | A1 | 20030619 | US 2002-305747 | 20021127 <-- |
| CA 2480372 | AA | 20031009 | CA 2003-2480372 | 20030326 |
| AU 2003224773 | A1 | 20031013 | AU 2003-224773 | 20030326 |
| EP 1494530 | A2 | 20050112 | EP 2003-721462 | 20030326 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

| | | | | |
|--|----|-----------------|-----------------|----------|
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005527547 | T2 | 20050915 | JP 2003-579739 | 20030326 |
| WO 2004039961 | A2 | 20040513 | WO 2003-US34796 | 20031031 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003286844 | A1 | 20040525 | AU 2003-286844 | 20031031 |
| EP 1581623 | A2 | 20051005 | EP 2003-778060 | 20031031 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1996-631469 | W 19960412 <-- | |
| | | WO 1997-US6004 | W 19970411 <-- | |
| | | US 2001-333836P | P 20011128 <-- | |
| | | US 2002-422708P | P 20021031 | |
| | | US 2002-305747 | A2 20021127 | |
| | | US 2003-362310 | A2 20030219 | |
| | | US 1991-655965 | B2 19910214 <-- | |
| | | US 1992-837192 | B2 19920213 <-- | |
| | | US 1993-37741 | B2 19930325 <-- | |
| | | US 1994-191352 | B2 19940202 <-- | |
| | | US 2002-367574P | P 20020326 | |
| | | US 2003-397953 | A 20030326 | |
| | | WO 2003-US9195 | W 20030326 | |
| | | US 2003-431805 | A 20030508 | |
| | | US 2003-434607 | A 20030509 | |
| | | US 2003-434636 | A 20030509 | |
| | | US 2003-434752 | A 20030509 | |
| | | US 2003-435406 | A 20030509 | |
| | | WO 2003-US34796 | W 20031031 | |

AB This invention features methods of treating lesions of the airway epithelium by local or systemic administration of (intestinal) trefoil peptides. The intestinal trefoil peptide can be administered either alone or in combination with one or more therapeutic agents.

L10 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:434320 CAPLUS
 DOCUMENT NUMBER: 139:17578
 TITLE: Methods and compositions for treating lesions of the respiratory epithelium
 INVENTOR(S): Podolsky, Daniel K.
 PATENT ASSIGNEE(S): The General Hospital Corporation, USA
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 16
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2003045332 | A2 | 20030605 | WO 2002-US38258 | 20021127 <-- |
| WO 2003045332 | A3 | 20030724 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, SY, TJ, TM, TN, TR, TT, | | | | |

AB The present invention features methods and compns. for the treatment of lesions of the airway epithelium in mammals, by administering to the mammal therapeutically effective amts. of trefoil peptides, or a biol. active fragments thereof. Treatment of lesions according to the invention can speed healing, reduce pain, delay or prevent the occurrence of the lesion, and inhibit expansion, secondary infection, or other complications of the lesion. Lesions of the airway epithelium may result from any cause, including for example, an allergic reaction, asthma, an infection, an inhaled chemical or particulate exposure, a thermal lesion, smoke inhalation, drug-induced lung damage, trauma (caused, for example, by surgery or intubation), a microbial infection (e.g., bacterial, viral, or fungal), chronic obstructive pulmonary disease, antineoplastic therapy, cystic fibrosis, cardiovascular compromise such as congestive heart failure, or hyperbaric oxygen therapy. In all foregoing aspects of the invention, the mammal is preferably a human and the trefoil peptide is human intestinal trefoil factor (ITF), spasmolytic peptide (SP), pS2, or biol. active fragments thereof. Such fragments include for example, ITF15-73, ITF21-73, ITF1-72, ITF15-72, or ITF21-72. In the methods and compns. of this invention, a second therapeutic agent can be included. Such agents include antiinflammatory agents such as glucocorticoids (beclomethasone, flunisolide, budesonide, triamcinolone, prednisolone, dexamethasone, or fluticasone) or nonsteroidal antiinflammatory agents (e.g., ibuprofen, tacrolimus, cromolyn, nedocromil, rofecoxib, or celecoxib); antimicrobial agents (e.g., amikacin, gentamicin, kanamycin, neomycin, netilmicin, paromomycin, streptomycin, or tobramycin); antihistamines (e.g., diphenhydramine, fexofenadine, cetirizine, or loratadine); cholinergic receptor antagonists (e.g., ipratropium bromide or tiotropium); neurokinin receptor antagonists; leukotriene receptor antagonists; decongestants; phosphodiesterase inhibitors; or β -adrenergic receptor antagonists (albuterol, bitolterol, epinephrine, fenoterol, formoterol, isoetharine, isoproterenol, metaproterenol, pirbuterol, procaterol, rac-epinephrine, salmeterol, or terbutaline). The second therapeutic agent may be administered within (either before or after) 14 days, 7 days, 1 day, 12 h, 1 h, or simultaneously with the trefoil peptide.

L10 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376127 CAPLUS
DOCUMENT NUMBER: 138:390904
TITLE: Water stabilized medicinal aerosol formulation
INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U. S.
Ser. No. 619,183, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| US 2003091512 | A1 | 20030515 | US 2002-234825 | 20020903 <-- |
| US 6261539 | B1 | 20010717 | US 1998-209228 | 19981210 <-- |
| CA 2497171 | AA | 20040318 | CA 2003-2497171 | 20030903 |
| WO 2004022035 | A1 | 20040318 | WO 2003-US27245 | 20030903 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003272251 | A1 | 20040329 | AU 2003-272251 | 20030903 |
| EP 1569617 | A1 | 20050907 | EP 2003-754425 | 20030903 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006502160 | T2 | 20060119 | JP 2004-534386 | 20030903 |
| PRIORITY APPLN. INFO.: | | | | |
| US 1998-209228 A2 19981210 <-- | | | | |
| US 2000-619183 B2 20000719 <-- | | | | |
| US 2002-234825 A 20020903 | | | | |
| WO 2003-US27245 W 20030903 | | | | |

AB This invention relates to a medicinal aerosol suspension formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug or a combination of at least two particulate drugs, a propellant and a stabilizing agent comprising a water addition

L10 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:355834 CAPLUS
 DOCUMENT NUMBER: 138:362665
 TITLE: Immunostimulatory nucleic acids for the treatment of asthma and allergy
 INVENTOR(S): Bratzler, Robert L.; Petersen, Deanna M.; Fouron, Yves
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 221 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------|------|----------|-----------------|--------------|
| US 2003087848 | A1 | 20030508 | US 2001-776479 | 20010202 <-- |
| US 2004067902 | A9 | 20040408 | | |
| US 2004235774 | A1 | 20041125 | US 2004-831778 | 20040423 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| US 2000-179991P P 20000203 <-- | | | | |
| US 2001-776479 A1 20010202 <-- | | | | |

OTHER SOURCE(S): MARPAT 138:362665

AB The invention involves administration of an immunostimulatory nucleic acid alone or in combination with an asthma/allergy medicament for the treatment or prevention of asthma and allergy in subjects. The combination of drugs are administered in synergistic amts. or in various dosages or at various time schedules. The invention also relates to kits and compns. concerning the combination of drugs.

L10 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:257320 CAPLUS
 DOCUMENT NUMBER: 138:260488
 TITLE: Method for the production of sterile liquid preparations for inhalation

INVENTOR(S): Keller, Manfred; Lintz, Frank
 PATENT ASSIGNEE(S): Pari GmbH, Germany
 SOURCE: Ger. Offen., 14 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------------|
| DE 10145361 | A1 | 20030403 | DE 2001-10145361 | 20010914 <-- |
| EP 1417958 | A1 | 20040512 | EP 2002-25006 | 20021108 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CA 2475577 | AA | 20040521 | CA 2003-2475577 | 20031028 |
| WO 2004041253 | A1 | 20040521 | WO 2003-EP11949 | 20031028 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003279326 | A1 | 20040607 | AU 2003-279326 | 20031028 |
| EP 1558217 | A1 | 20050803 | EP 2003-772269 | 20031028 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2006057073 | A1 | 20060316 | US 2004-517910 | 20041208 |
| PRIORITY APPLN. INFO.: | | | DE 2001-10145361 | A 20010914 <-- |
| | | | EP 2002-25006 | A 20021108 |
| | | | WO 2003-EP11949 | W 20031028 |

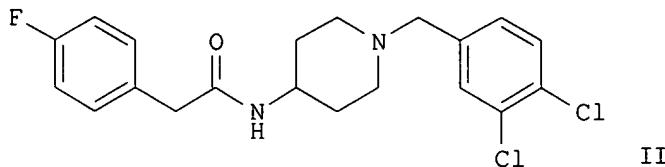
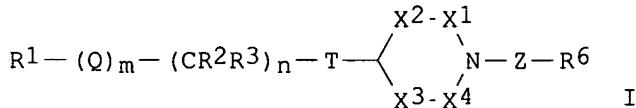
AB The invention concerns the production of sterile aqueous inhalation aerosols containing slightly soluble drugs by (a) preparing an aqueous suspension containing drug particles larger than 1 μm and a dissolved surfactant; (b) reduction of the particle size by high pressure homogenization or collision jet grinding to obtain particles less than 1 μm ; (c) heat treatment of the suspension for sterilization, the final average particle size is less than 2 μm . The inhalants are formulated for pulmonary and nasal use. Suspensions can be nebulized by aerosol nozzles, ultrasound, vibrating membranes with defined pore sizes or electrohydrodynamically.

L10 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:44146 CAPLUS
 DOCUMENT NUMBER: 138:73178
 TITLE: Preparation and pharmaceutical combinations of
[(hetero)arylalkyl]piperidinyl amine, amide, or
carbamate CCR3 antagonists for treatment of asthma,
allergic disease, or inflammation
 INVENTOR(S): Bahl, Ash; Perry, Matthew; Springthorpe, Brian
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
 SOURCE: Brit. UK Pat. Appl., 91 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

GB 2373186 A1 20020918 GB 2001-4534 20010223 <--
 PRIORITY APPLN. INFO.: GB 2001-4534 20010223 <--
 OTHER SOURCE(S): MARPAT 138:73178
 GI



AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β -agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine•2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

L10 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:5762 CAPLUS
 DOCUMENT NUMBER: 138:78452
 TITLE: Pharmaceutical compositions containing anticholinergic agents, corticosteroids and betamimetic agents
 INVENTOR(S): Meade, Christopher John Montague; Pieper, Michael P.; Pairet, Michel
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| WO 2003000241 | A2 | 20030103 | WO 2002-EP5896 | 20020529 <-- |
| WO 2003000241 | A3 | 20031211 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

| | | | | |
|--|----|----------|------------------|----------------|
| CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10130371 | A1 | 20030102 | DE 2001-10130371 | 20010623 <-- |
| CA 2455167 | AA | 20030103 | CA 2002-2455167 | 20020529 <-- |
| EP 1408967 | A2 | 20040421 | EP 2002-745329 | 20020529 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2005502608 | T2 | 20050127 | JP 2003-506888 | 20020529 <-- |
| US 2003018019 | A1 | 20030123 | US 2002-173194 | 20020617 <-- |
| US 2006057074 | A1 | 20060316 | US 2005-267354 | 20051104 <-- |
| PRIORITY APPLN. INFO.: | | | DE 2001-10130371 | A 20010623 <-- |
| | | | US 2001-304148P | P 20010710 <-- |
| | | | WO 2002-EP5896 | W 20020529 |
| | | | US 2002-173194 | A1 20020617 |

AB The invention relates to novel pharmaceutical compns. based on anitcholenergic agents, corticosteroids and betamimetic agents, to methods for their production and to their use for treating respiratory tract diseases. Thus an inhalation powder was prepared that contained (μg) per capsule: tiotropium bromide monohydrate 22.6; budesonide 200; salmeterol x 0.5 H₂SO₄ 55.9; lactose 4721.6.

L10 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:813911 CAPLUS
 DOCUMENT NUMBER: 137:316082
 TITLE: Formoterol/steroid bronchodilating compositions and methods of use thereof
 INVENTOR(S): Banerjee, Partha S.; Chaudry, Imitiaz A.
 PATENT ASSIGNEE(S): Dey LP, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------|----------|-----------------|----------------|
| ----- | ----- | ----- | ----- | ----- |
| WO 2002083113 | A2 | 20021024 | WO 2002-US6252 | 20020301 <-- |
| WO 2002083113 | A3 | 20030320 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003055026 | A1 | 20030320 | US 2001-887496 | 20010622 <-- |
| CA 2444535 | AA | 20021024 | CA 2002-2444535 | 20020301 <-- |
| EP 1385494 | A2 | 20040204 | EP 2002-719098 | 20020301 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2005512944 | T2 | 20050512 | JP 2002-580917 | 20020301 <-- |
| US 2002183293 | A1 | 20021205 | US 2002-145978 | 20020513 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-284607P | P 20010417 <-- |

US 2001-887496 A1 20010622 <--
WO 2002-US6252 W 20020301

AB Bronchodilating compns. intended for administration as a nebulized aerosol are provided. In certain embodiments, the compns. contain formoterol, or a derivative thereof, and a steroid anti-inflammatory agent. Methods for treatment, prevention, or amelioration of one or more symptoms of bronchoconstrictive disorders using the compns. provided herein are also provided. For example, a solution was prepared containing Formoterol fumarate dihydrate 85 µg/mL, budesonide 125 µg/mL, vitamin E TPGS 10 µg/mL, Polyethylene glycol 10 µg/mL, citrate buffer 50mM, sodium chloride 7.5 mg/mL, and water as needed.

L10 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:777694 CAPLUS

DOCUMENT NUMBER: 137:284361

TITLE: Drug delivery aerosols containing hydrofluoroalkanes and solid excipients

INVENTOR(S): Mueller-Walz, Rudi; Niederlaender, Carsten

PATENT ASSIGNEE(S): Jago Research A.-G., Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002078671 | A1 | 20021010 | WO 2002-CH145 | 20020311 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2442415 | AA | 20021010 | CA 2002-2442415 | 20020311 <-- |
| EP 1372608 | A1 | 20040102 | EP 2002-701145 | 20020311 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1499958 | A | 20040526 | CN 2002-807382 | 20020311 <-- |
| NZ 528640 | A | 20040625 | NZ 2002-528640 | 20020311 <-- |
| JP 2004525148 | T2 | 20040819 | JP 2002-576937 | 20020311 <-- |
| ZA 2003007161 | A | 20041123 | ZA 2003-7161 | 20030912 <-- |
| NO 2003004323 | A | 20030926 | NO 2003-4323 | 20030926 <-- |
| US 2004101483 | A1 | 20040527 | US 2003-473874 | 20030930 <-- |
| PRIORITY APPLN. INFO.: | | | CH 2001-601 | A 20010330 <-- |
| | | | CH 2001-1527 | A 20010820 <-- |
| | | | WO 2002-CH145 | W 20020311 |

OTHER SOURCE(S): MARPAT 137:284361

AB The invention concerns drug delivery systems in form of aerosols that contain the active substance, the palmitates and stearates of calcium, magnesium and zinc as solid excipients, and hydrofluoroalkanes. Thus 24.96 g micronized budesonide and 3.12 g magnesium stearate were weighed in to a pressure vessel and filled with 7.8 kg HFA 134a. After homogenization the suspension was filled into aluminum inhalers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:671829 CAPLUS

DOCUMENT NUMBER: 137:206550

TITLE: Inhalatory compositions of formoterol
 INVENTOR(S): Gagnoni, Alessandro; Meoli, Andrea; Vanossi, Sereno
 PATENT ASSIGNEE(S): Chemo Healthcare S.A., Switz.
 SOURCE: Eur. Pat. Appl., 7 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| EP 1236467 | A1 | 20020904 | EP 2002-4635 | 20020228 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CA 2374257 | AA | 20020902 | CA 2002-2374257 | 20020301 <-- |
| US 2002155068 | A1 | 20021024 | US 2002-86868 | 20020304 <-- |
| ✓ US 6719994 | B2 | 20040413 | | |

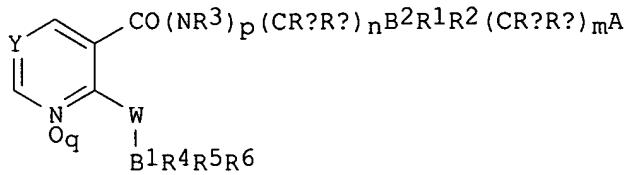
PRIORITY APPLN. INFO.: IT 2001-MI428 A 20010302 <--
 AB Inhalatory pharmaceutical compns. containing formoterol as active ingredient, comprises a vial containing a sterile liquid vehicle suitable for inhalation, a reservoir chamber cap containing a powder mixture consisting of Formoterol or a related salt in micronized form and one or more excipients, soluble in the vehicle and suitable for respiratory use. The composition comprises a further active ingredient, i.e., budesonide, fluticasone, flunisolide, mometasone or ipratropium bromide.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:591707 CAPLUS
 DOCUMENT NUMBER: 137:140509
 TITLE: Preparation of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isozymes
 INVENTOR(S): Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 180 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| EP 1229034 | A1 | 20020807 | EP 2002-250202 | 20020111 <-- |
| EP 1229034 | B1 | 20050413 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| AT 293109 | E | 20050415 | AT 2002-250202 | 20020111 <-- |
| ES 2239203 | T3 | 20050916 | ES 2002-2250202 | 20020111 <-- |
| CA 2369462 | AA | 20020731 | CA 2002-2369462 | 20020129 <-- |
| US 2002111495 | A1 | 20020815 | US 2002-62811 | 20020131 <-- |
| BR 2002000250 | A | 20021008 | BR 2002-250 | 20020131 <-- |
| US 2004171798 | A1 | 20040902 | US 2004-781062 | 20040217 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-265240P | P 20010131 <-- |
| | | | US 1997-43403P | P 19970404 <-- |
| | | | US 1998-105120P | P 19981021 <-- |
| | | | US 2002-62811 | B1 20020131 |

OTHER SOURCE(S): MARPAT 137:140509
 GI



AB Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO₂R₇, CONR₉CO₂R₇, CONR₇R₉, OP(O)(OH)₂, SO₃H, acylsulfonamido, etc.; W = O, S, SO, SO₂, NR₃; Y = N, NO, CR₁₁; R₁, R₂ = H, F, Cl, cyano, NO₂, alkyl, alkynyl, fluoroalkyl, etc.; R₃ = H, alkyl, Ph, PhCH₂, etc.; R₄-R₆ = H, F, Cl, alkynyl, cyano, NO₂, etc.; R₇ = H, (substituted) alkyl, alkenyl, alkynyl; R₉ = H, alkyl, cycloalkyl, Ph, PhCH₂, pyridyl, etc.; R₁₁ = H, F, Cl, cyano, NO₂, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF₃, alkyl, (substituted) cycloalkyl, Ph, PhCH₂; B₁, B₂ = 3-7 membered (hetero)cyclol, 7-12 membered poly(hetero)cyclol; pairs of variables may form rings; with provisos], were prepared (no data). Thus, Me 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me₃COH. Aqueous NaOH was added to the suspension, and the reaction mixture was refluxed 1 h to give 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionic acid.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:556104 CAPLUS

DOCUMENT NUMBER: 137:109489

TITLE: Compositions comprising a polypeptide and an active agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 19

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------------|
| US 2002099013 | A1 | 20020725 | US 2001-933708 | 20010822 <-- |
| US 2004087483 | A1 | 20040506 | US 2002-136433 | 20020502 <-- |
| US 2006014697 | A1 | 20060119 | US 2005-89056 | 20050325 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-247556P | P 20001114 <-- |
| | | | US 2000-247558P | P 20001114 <-- |
| | | | US 2000-247559P | P 20001114 <-- |
| | | | US 2000-247560P | P 20001114 <-- |
| | | | US 2000-247561P | P 20001114 <-- |
| | | | US 2000-247594P | P 20001114 <-- |
| | | | US 2000-247595P | P 20001114 <-- |
| | | | US 2000-247606P | P 20001114 <-- |
| | | | US 2000-247607P | P 20001114 <-- |
| | | | US 2000-247608P | P 20001114 <-- |
| | | | US 2000-247609P | P 20001114 <-- |
| | | | US 2000-247610P | P 20001114 <-- |
| | | | US 2000-247611P | P 20001114 <-- |
| | | | US 2000-247612P | P 20001114 <-- |
| | | | US 2000-247620P | P 20001114 <-- |
| | | | US 2000-247621P | P 20001114 <-- |
| | | | US 2000-247634P | P 20001114 <-- |

| | | | |
|----|--------------|----|--------------|
| US | 2000-247635P | P | 20001114 <-- |
| US | 2000-247698P | P | 20001114 <-- |
| US | 2000-247699P | P | 20001114 <-- |
| US | 2000-247700P | P | 20001114 <-- |
| US | 2000-247701P | P | 20001114 <-- |
| US | 2000-247702P | P | 20001114 <-- |
| US | 2000-247797P | P | 20001114 <-- |
| US | 2000-247798P | P | 20001114 <-- |
| US | 2000-247799P | P | 20001114 <-- |
| US | 2000-247800P | P | 20001114 <-- |
| US | 2000-247801P | P | 20001114 <-- |
| US | 2000-247802P | P | 20001114 <-- |
| US | 2000-247803P | P | 20001114 <-- |
| US | 2000-247804P | P | 20001114 <-- |
| US | 2000-247805P | P | 20001114 <-- |
| US | 2000-247807P | P | 20001114 <-- |
| US | 2000-247832P | P | 20001114 <-- |
| US | 2000-247833P | P | 20001114 <-- |
| US | 2000-247926P | P | 20001114 <-- |
| US | 2000-247927P | P | 20001114 <-- |
| US | 2000-247928P | P | 20001114 <-- |
| US | 2000-247929P | P | 20001114 <-- |
| US | 2000-247930P | P | 20001114 <-- |
| US | 2000-642820 | A2 | 20000822 <-- |
| US | 2000-248607P | P | 20001116 <-- |
| US | 2001-933708 | A2 | 20010822 <-- |
| US | 2002-358368P | P | 20020222 |
| US | 2002-358381P | P | 20020222 |
| US | 2002-362082P | P | 20020307 |
| US | 2002-366258P | P | 20020322 |
| US | 2002-156527 | A2 | 20020529 |
| WO | 2003-US5525 | A2 | 20030224 |
| US | 2003-507012P | P | 20030930 |
| US | 2004-567800P | P | 20040505 |
| US | 2004-567802P | P | 20040505 |
| US | 2004-568011P | P | 20040505 |
| US | 2004-923088 | A2 | 20040823 |
| US | 2004-923257 | A2 | 20040823 |
| US | 2004-953110 | A2 | 20040930 |
| US | 2004-953111 | A2 | 20040930 |
| US | 2004-953116 | A2 | 20040930 |
| US | 2004-953119 | A2 | 20040930 |
| US | 2004-955006 | A2 | 20040930 |
| WO | 2004-US32131 | A2 | 20040930 |

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)_n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

L10 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:488054 CAPLUS

DOCUMENT NUMBER: 137:52413

TITLE: Spray dried powders for pulmonary or nasal administration

INVENTOR(S): Woolfe, Austen John; Zing, Xian Ming; Langford, Alan

PATENT ASSIGNEE(S): Norton Healthcare Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 643,145, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-----------------|
| US 2002081266 | A1 | 20020627 | US 2001-930109 | 20010814 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-150095P | P 19990820 <-- |
| | | | US 2000-643145 | B2 20000821 <-- |

AB A formulation for pulmonary or nasal administration comprises a mixture of particles of 2 or more drugs or excipients produced by spray drying and suitable for administration without further processing of the particles. Spherical particles 1-5 μ in size and formed directly by spray-drying with salbutamol sulfate 120 parts and ipatropium bromide 20 parts by weight were prepared. The larger proportion of salbutamol acted as an agent to cover the ipatropium bromide and so prevent moisture uptake by the ipatropium bromide. The increased weight of the particle compared to the ipatropium alone gave better content uniformity of the lower dose drug. The particles were either suspended in a mixture of P134a and/or P227 with a cosolvent (EtOH) or a surfactant as appropriate in a metered dose aerosol inhaler, or were mixed with lactose as a flow aid in a metered dose dry powder inhaler, or used as received from the spray dryer in a capsule for insufflation.

L10 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:487374 CAPLUS

DOCUMENT NUMBER: 137:52399

TITLE: Pharmaceutical aerosol formulations containing alkyl polyglycoside

INVENTOR(S): Buckton, Graham; Columbano, Angela; Grosvenor, Martin; Wikeley, Philip

PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002049616 | A1 | 20020627 | WO 2001-SE2853 | 20011219 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002016576 | A5 | 20020701 | AU 2002-16576 | 20011219 <-- |
| EP 1345591 | A1 | 20030924 | EP 2001-271213 | 20011219 <-- |
| EP 1345591 | B1 | 20050302 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004516261 | T2 | 20040603 | JP 2002-550958 | 20011219 <-- |
| AT 289803 | E | 20050315 | AT 2001-271213 | 20011219 <-- |
| US 2004082520 | A1 | 20040429 | US 2003-451162 | 20031125 <-- |
| PRIORITY APPLN. INFO.: | | | SE 2000-4750 | A 20001219 <-- |
| | | | WO 2001-SE2853 | W 20011219 <-- |

OTHER SOURCE(S): MARPAT 137:52399

AB The invention relates to a pharmaceutical aerosol formulation comprising a surfactant that is an alkyl polyglycoside (the average degree of polymerization of

and

1-4) for the administration of a drug for inhalation. Propellant HFA-134a was dispensed chilled (at -55°) into a 400-mL can. A valve was then crimped onto the can and the propellant allowed to return to ambient temperature. Beclomethasone dipropionate was weighed into a 30-mL glass vial

20 mL of surfactant (alkyl polyglycoside at 0.8 g/L) solution in water. The resultant suspension was incubated at 25° for 3 h hours, to allow adsorption of the surfactant to the surface of the drug, and to give a drug-surfactant ratio of 10 mg surfactant/g drug. The suspension was centrifuged and the particles of drug-surfactant were separated from the supernatant and dried in an oven at 50° for 24 h. This was mixed with the propellant, and the final composition contained beclomethasone dipropionate and glycoside 0.2% and HFA-134a to 100%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:449474 CAPLUS
DOCUMENT NUMBER: 137:11011
TITLE: Particulate inhalation carriers
INVENTOR(S): Buckton, Graham; Al-Hadithi, Dima; Brocchini, Stephen
PATENT ASSIGNEE(S): School of Pharmacy, University of London, UK
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|----------------|
| WO 2002045682 | A1 | 20020613 | WO 2001-GB5436 | 20011210 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2002022145 | A5 | 20020618 | AU 2002-22145 | 20011210 <-- |
| EP 1339388 | A1 | 20030903 | EP 2001-999355 | 20011210 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004517834 | T2 | 20040617 | JP 2002-547468 | 20011210 <-- |
| US 2004062719 | A1 | 20040401 | US 2003-433435 | 20031020 <-- |
| PRIORITY APPLN. INFO.: | | | GB 2000-30074 | A 20001208 <-- |
| | | | WO 2001-GB5436 | W 20011210 <-- |

AB The present invention provides a particulate substrate suitable for carrying a drug for delivery, comprising a substantially crystalline core and a surface coating, wherein the particulate substrate has a proportion of amorphous character of 2% or greater by weight of particulate substrate, and a process for the production of carrier particles comprising the steps of: (a) mixing crystalline particles having an average diameter greater than 10 µm

with at

least partially amorphous particles having average diams. less than 10 µm;
(b) exposing the mixture to conditions capable of inducing crystallization of

the

amorphous particles for a predetd. period in order that partial crystallization takes place. The core material is selected from saccharides, most preferably lactose and the surface of the substrate is formed from the same material as the core. The drug is selected from steroids, hormones,

therapeutic proteins and peptides, β-2 agonists, bronchodilators, corticosteroids and antihistamines.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002034237 | A1 | 20020502 | WO 2001-US26142 | 20010822 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6716452 | B1 | 20040406 | US 2000-642820 | 20000822 <-- |
| CA 2420590 | AA | 20020502 | CA 2001-2420590 | 20010822 <-- |
| AU 2001086599 | A5 | 20020506 | AU 2001-86599 | 20010822 <-- |
| EP 1311242 | A1 | 20030521 | EP 2001-966056 | 20010822 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004523480 | T2 | 20040805 | JP 2002-537291 | 20010822 <-- |
| US 2004127397 | A1 | 20040701 | US 2003-727565 | 20031205 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-642820 | A 20000822 <-- |
| | | | US 2000-247613P | P 20001114 <-- |
| | | | US 2000-247614P | P 20001114 <-- |
| | | | US 2000-247615P | P 20001114 <-- |
| | | | US 2000-247616P | P 20001114 <-- |
| | | | US 2000-247617P | P 20001114 <-- |
| | | | US 2000-247622P | P 20001114 <-- |
| | | | US 2000-247630P | P 20001114 <-- |
| | | | US 2000-247631P | P 20001114 <-- |
| | | | US 2000-247632P | P 20001114 <-- |
| | | | US 2000-247633P | P 20001114 <-- |
| | | | US 2000-247556P | P 20001114 <-- |
| | | | US 2000-247558P | P 20001114 <-- |
| | | | US 2000-247559P | P 20001114 <-- |
| | | | US 2000-247560P | P 20001114 <-- |
| | | | US 2000-247561P | P 20001114 <-- |
| | | | US 2000-247594P | P 20001114 <-- |
| | | | US 2000-247595P | P 20001114 <-- |
| | | | US 2000-247606P | P 20001114 <-- |
| | | | US 2000-247607P | P 20001114 <-- |
| | | | US 2000-247608P | P 20001114 <-- |
| | | | US 2000-247609P | P 20001114 <-- |
| | | | US 2000-247610P | P 20001114 <-- |
| | | | US 2000-247611P | P 20001114 <-- |

| | | | | |
|----|-----------------|---|----------|-----|
| US | 2000-247612P | P | 20001114 | <-- |
| US | 2000-247620P | P | 20001114 | <-- |
| US | 2000-247621P | P | 20001114 | <-- |
| US | 2000-247634P | P | 20001114 | <-- |
| US | 2000-247635P | P | 20001114 | <-- |
| US | 2000-247698P | P | 20001114 | <-- |
| US | 2000-247699P | P | 20001114 | <-- |
| US | 2000-247701P | P | 20001114 | <-- |
| US | 2000-247702P | P | 20001114 | <-- |
| US | 2000-247797P | P | 20001114 | <-- |
| US | 2000-247798P | P | 20001114 | <-- |
| US | 2000-247799P | P | 20001114 | <-- |
| US | 2000-247800P | P | 20001114 | <-- |
| US | 2000-247801P | P | 20001114 | <-- |
| US | 2000-247802P | P | 20001114 | <-- |
| US | 2000-247803P | P | 20001114 | <-- |
| US | 2000-247804P | P | 20001114 | <-- |
| | WO 2001-US26142 | W | 20010822 | <-- |

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:122837 CAPLUS
 DOCUMENT NUMBER: 136:189346
 TITLE: Medical electropowders for inhalers
 INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar
 PATENT ASSIGNEE(S): Microdrug A.-G., Switz.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002011803 | A1 | 20020214 | WO 2001-SE1682 | 20010727 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| SE 2000002822 | A | 20020129 | SE 2000-2822 | 20000804 <-- |
| SE 516555 | C2 | 20020129 | | |
| US 6696090 | B1 | 20040224 | US 2000-636548 | 20000811 <-- |
| CA 2417225 | AA | 20020214 | CA 2001-2417225 | 20010727 <-- |
| AU 2001082743 | A5 | 20020218 | AU 2001-82743 | 20010727 <-- |
| EP 1309369 | A1 | 20030514 | EP 2001-961481 | 20010727 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001012903 | A | 20030701 | BR 2001-12903 | 20010727 <-- |
| JP 2004505685 | T2 | 20040226 | JP 2002-517135 | 20010727 <-- |
| PRIORITY APPLN. INFO.: | | | SE 2000-2822 | A 20000804 <-- |

AB A method and a process are disclosed for preparation of medical electro-powders. The electro-powder results from preps. of chemical and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. The electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0,5-5 µm and provides electrostatic properties with an absolute specific charge per mass after charging of the order 0.1×10^{-6} to 25×10^{-6} C/g and presenting a charge decay rate constant $Q_{50} > 0.1$ s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chemical or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compound to improve electrostatic properties of the medical dry powder substance or dry powder medical formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is encapsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:89782 CAPLUS

DOCUMENT NUMBER: 136:139841

TITLE: A medicinal aerosol formulation containing a particulate drug

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002007672 | A2 | 20020131 | WO 2000-US42625 | 20001207 <-- |
| WO 2002007672 | A3 | 20020627 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001047123 | A5 | 20020205 | AU 2001-47123 | 20001207 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-619183 | A 20000719 <-- |
| | | | WO 2000-US42625 | W 20001207 <-- |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, or combination of at least two particulate drugs a propellant and a stabilizing agent comprising a water addition (no data).

L10 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:780692 CAPLUS

DOCUMENT NUMBER: 135:327352

TITLE: Medicaments for treating respiratory disorders

INVENTOR(S): comprising formoterol and fluticasone
 Sanders, Mark
 PATENT ASSIGNEE(S): Innovata Biomed Limited, UK
 SOURCE: PCT Int. Appl., 25 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2001078735 | A1 | 20011025 | WO 2001-GB1656 | 20010412 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2405599 | AA | 20011025 | CA 2001-2405599 | 20010412 <-- |
| EP 1274433 | A1 | 20030115 | EP 2001-925665 | 20010412 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003531123 | T2 | 20031021 | JP 2001-576035 | 20010412 <-- |
| US 2003026766 | A1 | 20030206 | US 2002-9956 | 20020412 <-- |
| ZA 2002008804 | A | 20040209 | ZA 2002-8804 | 20021030 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 2000-9046 | A 20000413 <-- |
| | | | GB 2001-5967 | A 20010310 <-- |
| | | | WO 2001-GB1656 | W 20010412 <-- |

AB There is described a method of treating or alleviating a respiratory disorder which comprises administering an effective amount of the active ingredients formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, sep., sequentially or simultaneously, provided that the active ingredients comprise sep. compns. There is also described a dry powder inhaler containing formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, which may be administered sep., sequentially or simultaneously, provided that they are administered as sep. compns. Inhibition of Sephadex-induced edema by formoterol and fluticasone in the rats' lungs were studied.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:713820 CAPLUS
 DOCUMENT NUMBER: 135:262267
 TITLE: Preparation of pharmaceutical powder agglomerates
 INVENTOR(S): Yang, Tsong-toh
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont. of U.S. Ser. No. 42,973, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| US 2001024641 | A1 | 20010927 | US 2001-824377 | 20010402 <-- |
| US 6503537 | B2 | 20030107 | | |

| | | | | |
|------------------------|----|----------|----------------|-----------------|
| US 2001051187 | A1 | 20011213 | US 2001-901205 | 20010709 <-- |
| US 6495167 | B2 | 20021217 | | |
| US 2003085480 | A1 | 20030508 | US 2002-238423 | 20020910 <-- |
| US 2003157184 | A1 | 20030821 | US 2002-326327 | 20021219 <-- |
| US 2004109828 | A1 | 20040610 | US 2003-725845 | 20031202 <-- |
| US 2005123608 | A1 | 20050609 | US 2005-28788 | 20050104 <-- |
| PRIORITY APPLN. INFO.: | | | US 1997-41055P | P 19970320 <-- |
| | | | US 1998-42973 | B1 19980317 <-- |
| | | | US 2001-824377 | A1 20010402 <-- |
| | | | US 2001-901205 | A1 20010709 <-- |
| | | | US 2002-238423 | B1 20020910 <-- |
| | | | US 2002-326327 | A1 20021219 <-- |

AB The invention relates to a method of producing an agglomerate of drug and solid binder. The process involves producing individual agglomerate particles and then converting the convertible amorphous content of same, following agglomeration, by the application of, e.g., moisture. Agglomerates capable of conversion as well as the finished agglomerates and oral and nasal dosing systems including same are also contemplated. The process produces agglomerates which are rugged but which will produce an acceptable fine particle fraction during dosing. Micronization of mometasone and lactose were carried out at 20% RH and 21°. The powders were blended and the bulk d. was determined

L10 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:713112 CAPLUS

DOCUMENT NUMBER: 135:262244

TITLE: Stabilized dry powder formulations containing formoterol

INVENTOR(S): Ward, Gary

PATENT ASSIGNEE(S): Dura Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 9 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2001070198 | A1 | 20010927 | WO 2001-US7991 | 20010313 <-- |
| W: AU, BR, CA, CN, CZ, FI, HU, IL, JP, MX, NO, NZ, RU, SG | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, | | | | |
| PT, SE, TR | | | | |
| / US 6369115 | B1 | 20020409 | US 2000-528519 | 20000320 <-- |
| CA 2404064 | AA | 20010927 | CA 2001-2404064 | 20010313 <-- |
| EP 1272162 | A1 | 20030108 | EP 2001-916609 | 20010313 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, FI, CY, TR | | | | |
| JP 2003527412 | T2 | 20030916 | JP 2001-568396 | 20010313 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-528519 | A 20000320 <-- |
| | | | WO 2001-US7991 | W 20010313 <-- |

AB A dry powder formulation for treatment of pulmonary conditions, via inhalation, includes an effective amount of formoterol or a salt or solvate thereof, in a dry powder form, an effective amount of fluticasone, in a dry powder form, and an excipient. A method for preparing a phys. stable dry powder formulation for inhalation includes the steps of micronizing a first active polar drug, a second active non-polar drug, and a polar excipient. The second non-polar active drug is first blended with the excipient to form an intermediate mixture. The intermediate mixture is then blended with the first active polar drug. The increased separation of the polar drug and polar excipient stabilizes the formulation. In preparing the formulation, formoterol fumarate dihydrate and fluticasone are micronized and mixed in the proportions of 1:2 to 1:100. The fluticasone was blended with an excipient mixture and filled into a powder storage device, such as

blister disks or cassettes.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:564809 CAPLUS
DOCUMENT NUMBER: 135:142240
TITLE: A method of administering a medicinal aerosol formulation
INVENTOR(S): Adjei, Akwete L.; Stefanos, Simon; Zhu, Yaping
PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2001054664 | A1 | 20010802 | WO 2001-US116 | 20010102 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6596261 | B1 | 20030722 | US 2000-702194 | 20001030 <-- |
| CA 2396781 | AA | 20010802 | CA 2001-2396781 | 20010102 <-- |
| EP 1250127 | A1 | 20021023 | EP 2001-946786 | 20010102 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003521492 | T2 | 20030715 | JP 2001-555643 | 20010102 <-- |
| AU 775634 | B2 | 20040805 | AU 2001-29262 | 20010102 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-177982P | P 20000125 <-- |
| | | | US 2000-702194 | A 20001030 <-- |
| | | | US 1998-158369 | A 19980922 <-- |
| | | | WO 2001-US116 | W 20010102 <-- |

AB A method of treating in a human or animal a condition capable of treatment by oral or nasal inhalation has been found. The method comprises administering a medicinal aerosol formulation comprising a selected medicament under conditions where the amount of the selected drug delivered to the site of action, e.g. the lungs, is maximized. After intrapulmonary and i.v. administration of 7.5, and 5.0 µg/kg amylin, resp., to rabbits the half life of the drug in the body was 26.38 and 17.17 min, resp.

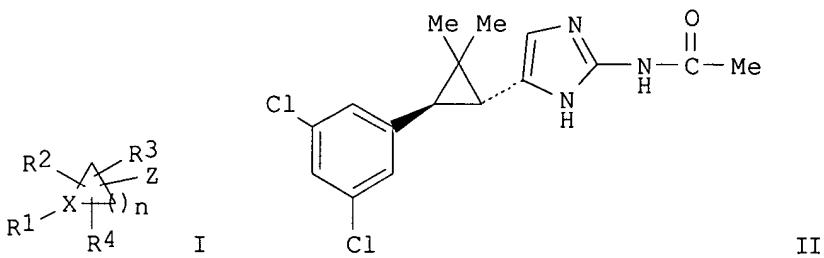
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:283949 CAPLUS
DOCUMENT NUMBER: 134:311218
TITLE: Synthesis and use of heterocyclic sodium/proton exchange inhibitors
INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu, Khehyong; Atwal, Karnail S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|-----------------|
| WO 2001027107 | A2 | 20010419 | WO 2000-US27461 | 20001002 <-- |
| WO 2001027107 | A3 | 20020124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6887870 | B1 | 20050503 | US 2000-669298 | 20000925 <-- |
| CA 2388813 | AA | 20010419 | CA 2000-2388813 | 20001002 <-- |
| EP 1224183 | A2 | 20020724 | EP 2000-968723 | 20001002 <-- |
| EP 1224183 | B1 | 20051228 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| BR 2000014725 | A | 20030617 | BR 2000-14725 | 20001002 <-- |
| JP 2003527331 | T2 | 20030916 | JP 2001-530325 | 20001002 <-- |
| NZ 517668 | A | 20040924 | NZ 2000-517668 | 20001002 <-- |
| AT 314364 | E | 20060115 | AT 2000-968723 | 20001002 <-- |
| ZA 2002002479 | A | 20040727 | ZA 2002-2479 | 20020327 <-- |
| NO 2002001717 | A | 20020610 | NO 2002-1717 | 20020411 <-- |
| US 2005137216 | A1 | 20050623 | US 2005-46993 | 20050131 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-158755P | P 19991012 <-- |
| | | | US 2000-669298 | A3 20000925 <-- |
| | | | WO 2000-US27461 | W 20001002 <-- |

OTHER SOURCE(S) : MARPAT 134:311218
GI



AB Compds. of formula I [wherein; n is 1-5; X is N or CR₅, where R₅ is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R₁ is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R₂, R₃ and R₄ are any of the groups set out for R₁ and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R₁ is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butylidethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding α -chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for

preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

L10 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:152458 CAPLUS
DOCUMENT NUMBER: 134:183526
TITLE: Method to produce powders for pulmonary or nasal administration
INVENTOR(S): Woolfe, Austen John; Zeng, Xian Ming; Langford, Alan
PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2001013885 | A1 | 20010301 | WO 2000-GB3230 | 20000821 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2382216 | AA | 20010301 | CA 2000-2382216 | 20000821 <-- |
| JP 2003526629 | T2 | 20030909 | JP 2001-518024 | 20000821 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-150095P | P 19990820 <-- |
| | | | WO 2000-GB3230 | W 20000821 <-- |

AB A pharmaceutical formulation comprises a mixture of two or more drugs optionally together with one or more excipients, the mixture being formed by the steps of: co-crystallization or co-precipitation of the drugs followed by micronization or milling to produce a uniform powder having a particle size and other properties suitable for formulation for pulmonary or nasal administration. An aqueous solution of 5% salbutamol sulfate:ipratropium bromide

(10:1) mixture was prepared and was spray dried. The diameter of particles was less than 3 μm .

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:60975 CAPLUS
DOCUMENT NUMBER: 134:305151
TITLE: Onset of bronchodilation of budesonide/formoterol vs. salmeterol/fluticasone in single inhalers
AUTHOR(S): Palmqvist, Mona; Arvidsson, Peter; Beckman, Ola;
Peterson, Stefan; Lotvall, Jan
CORPORATE SOURCE: Lung Pharmacology Group, Department of Respiratory,
Medicine and Allergology, Goteborg University,
Goeteborg, SE-413 46, Swed.
SOURCE: Pulmonary Pharmacology & Therapeutics (2001
, 14(1), 29-34
CODEN: PPTHFJ; ISSN: 1094-5539
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Combinations of inhaled glucocorticoids and long-acting β_2 -agonists in the same inhaler device have become available in recent years. In this double-blind, randomized, placebo-controlled and crossover study we have

evaluated the onset of action of budesonide and formoterol in a single inhaler (Symbicort Turbuhaler) and that of the fixed combination of salmeterol and fluticasone (Seretide Diskus). Thirty patients with a mean FEV₁of 2.54 l (range: 1.48-4.28) and a mean inclusion reversibility in FEV₁of 19.1% were included. Single doses of budesonide/formoterol 160/4.5 µg and 2+ (160/4.5) µg, salmeterol/fluticasone 50/250 µg, or placebo were given. Serial measurements of FEV₁were performed over 3 h. The combination of one or two inhalations of budesonide/formoterol showed a faster onset of action than salmeterol/fluticasone, both evaluated as mean FEV₁at 3 min (2.74, 2.75 and 2.56 l resp. P<0.001 for both doses of budesonide/formoterol), or as average FEV₁from 0 to 15 min (2.80, 2.83 and 2.67 l resp. P<0.001 for both doses of budesonide/formoterol). For placebo, mean FEV₁at 3 min was 2.46 l, and the average FEV₁at 0-15 min was 2.50 l. Furthermore, budesonide/formoterol at both doses resulted in higher FEV₁than salmeterol/fluticasone at 3 h. We conclude that the combination of budesonide/formoterol has a faster onset of action than salmeterol/fluticasone.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:401693 CAPLUS
 DOCUMENT NUMBER: 133:34456
 TITLE: A medicinal aerosol formulation
 INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.
 PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2000033892 | A1 | 20000615 | WO 1999-US28644 | 19991203 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6261539 | B1 | 20010717 | US 1998-209228 | 19981210 <-- |
| CA 2353959 | AA | 20000615 | CA 1999-2353959 | 19991203 <-- |
| EP 1135173 | A1 | 20010926 | EP 1999-965104 | 19991203 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| AU 749636 | B2 | 20020627 | AU 2000-31089 | 19991203 <-- |
| JP 2003521459 | T2 | 20030715 | JP 2000-586382 | 19991203 <-- |
| PRIORITY APPLN. INFO.: | | | US 1998-209228 | A 19981210 <-- |
| | | | WO 1999-US28644 | W 19991203 <-- |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant and a stabilizing agent comprising a water addition. Generally the formulations can be prepared by combining (1) the drug, e.g. triamcinolone acetonide, in an amount sufficient to provide a plurality of therapeutically EDs, (2) the water addition in an amount effective to stabilize each of the formulations, (3) the propellant in an amount sufficient to propel a plurality of doses from an aerosol canister, and (4) any further optional components, e.g. ethanol as a cosolvent and dispersing the components.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:351357 CAPLUS
 DOCUMENT NUMBER: 133:9107
 TITLE: Dry powder for inhalation
 INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi
 PATENT ASSIGNEE(S): Skyepharma A.-G., Switz.
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-----------------|
| WO 2000028979 | A1 | 20000525 | WO 1999-CH528 | 19991110 <-- |
| W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2347856 | AA | 20000525 | CA 1999-2347856 | 19991110 <-- |
| AU 9964578 | A1 | 20000605 | AU 1999-64578 | 19991110 <-- |
| AU 756852 | B2 | 20030123 | | |
| EP 1131059 | A1 | 20010912 | EP 1999-952212 | 19991110 <-- |
| EP 1131059 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO | | | | |
| JP 2002529498 | T2 | 20020910 | JP 2000-582027 | 19991110 <-- |
| NZ 511527 | A | 20021025 | NZ 1999-511527 | 19991110 <-- |
| EP 1283036 | A1 | 20030212 | EP 2002-25796 | 19991110 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| AT 233550 | E | 20030315 | AT 1999-952212 | 19991110 <-- |
| PT 1131059 | T | 20030731 | PT 1999-952212 | 19991110 <-- |
| ES 2192866 | T3 | 20031016 | ES 1999-952212 | 19991110 <-- |
| RU 2221552 | C2 | 20040120 | RU 2001-116074 | 19991110 <-- |
| SK 284889 | B6 | 20060202 | SK 2001-632 | 19991110 <-- |
| ZA 2001003627 | A | 20010509 | ZA 2001-3627 | 20010504 <-- |
| NO 2001002346 | A | 20010626 | NO 2001-2346 | 20010511 <-- |
| US 6645466 | B1 | 20031111 | US 2001-831011 | 20010809 <-- |
| US 2004202616 | A1 | 20041014 | US 2003-628965 | 20030728 <-- |
| PRIORITY APPLN. INFO.: | | | CH 1998-2286 | A 19981113 <-- |
| | | | EP 1999-952212 | A3 19991110 <-- |
| | | | WO 1999-CH528 | W 19991110 <-- |
| | | | US 2001-831011 | A1 20010809 <-- |

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H₂O (particle size 100% <200 µm, 50% <125 µm, 10% <75 µm) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H₂O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:209955 CAPLUS
 DOCUMENT NUMBER: 132:241977
 TITLE: Medicinal aerosol formulation
 INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA
 SOURCE: PCT Int. Appl., 17 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2000016814 | A1 | 20000330 | WO 1999-US21510 | 19990917 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6136294 | A | 20001024 | US 1998-158369 | 19980922 <-- |
| US 6136294 | C1 | 20020924 | | |
| CA 2344816 | AA | 20000330 | CA 1999-2344816 | 19990917 <-- |
| AU 9959267 | A1 | 20000410 | AU 1999-59267 | 19990917 <-- |
| AU 745554 | B2 | 20020321 | | |
| EP 1123120 | A1 | 20010816 | EP 1999-946974 | 19990917 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| JP 2002526459 | T2 | 20020820 | JP 2000-573775 | 19990917 <-- |
| PRIORITY APPLN. INFO.: | | | US 1998-158369 | A 19980922 <-- |
| | | | WO 1999-US21510 | W 19990917 <-- |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant, and stabilizing agent selected from an amino acid, an amino acid derivative and a mixture of the foregoing. An example amino acid stabilizer is glycine, an example medicament is albuterol, and example propellant is 1,1,1,2-tetrafluoroethane.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:116874 CAPLUS
 DOCUMENT NUMBER: 132:156861
 TITLE: Medicinal aerosol formulations
 INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi;
Kraus, Holger
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000007567 | A1 | 20000217 | WO 1999-CH360 | 19990802 <-- |
| W: AU, CA, CN, IN, JP, NO, NZ, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| CA 2338680 | AA | 20000217 | CA 1999-2338680 | 19990802 <-- |
| AU 9948939 | A1 | 20000228 | AU 1999-48939 | 19990802 <-- |
| AU 749697 | B2 | 20020704 | | |
| EP 1102579 | A1 | 20010530 | EP 1999-932599 | 19990802 <-- |

| | | | | |
|--|----|---------------|----------------|--------------|
| EP 1102579 | B1 | 20030319 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| JP 2002522374 | T2 | 20020723 | JP 2000-563253 | 19990802 <-- |
| NZ 509489 | A | 20021025 | NZ 1999-509489 | 19990802 <-- |
| AT 234604 | E | 20030415 | AT 1999-932599 | 19990802 <-- |
| PT 1102579 | T | 20030731 | PT 1999-932599 | 19990802 <-- |
| ES 2193726 | T3 | 20031101 | ES 1999-932599 | 19990802 <-- |
| ZA 2001000569 | A | 20010730 | ZA 2001-569 | 20010119 <-- |
| NO 2001000531 | A | 20010131 | NO 2001-531 | 20010131 <-- |
| US 6475467 | B1 | 20021105 | US 2001-744798 | 20010420 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | CH 1998-1633 | A 19980804 <-- | |
| | | WO 1999-CH360 | W 19990802 <-- | |

AB Pharmaceutically acceptable solid salts containing cromoglycic acid and/or nedocromil as a vehicle, at concns. which are not therapeutically and prophylactically active, are used in suspension aerosol formulations of pharmaceutical active ingredients in fluoroalkane propellants to improve the dispersion characteristics, increase the phys. and chemical stability of moisture-sensitive active ingredients, allow for accurate dosing of active ingredients even at low dosage, and generally eliminate the need for surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g micronized di-Na cromoglycate were mixed in an evacuated vessel with fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 weight%, and the suspension was homogenized and dispensed into Al vials equipped with dosing valves.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:98270 CAPLUS
 DOCUMENT NUMBER: 132:141967
 TITLE: Medicinal aerosol formulations
 INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi;
 Kraus, Holger
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------|---------------|-----------------|--------------|
| ----- | ----- | ----- | ----- | ----- |
| WO 2000006121 | A1 | 20000210 | WO 1999-CH337 | 19990722 <-- |
| W: AU, CA, CN, IN, JP, NO, NZ, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| CA 2338753 | AA | 20000210 | CA 1999-2338753 | 19990722 <-- |
| AU 9945989 | A1 | 20000221 | AU 1999-45989 | 19990722 <-- |
| AU 748867 | B2 | 20020613 | | |
| EP 1100465 | A1 | 20010523 | EP 1999-928996 | 19990722 <-- |
| EP 1100465 | B1 | 20041124 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| JP 2002521424 | T2 | 20020716 | JP 2000-561978 | 19990722 <-- |
| NZ 509328 | A | 20021126 | NZ 1999-509328 | 19990722 <-- |
| AT 283033 | E | 20041215 | AT 1999-928996 | 19990722 <-- |
| ES 2234266 | T3 | 20050616 | ES 1999-928996 | 19990722 <-- |
| ZA 2001000408 | A | 20010727 | ZA 2001-408 | 20010115 <-- |
| NO 2001000391 | A | 20010323 | NO 2001-391 | 20010123 <-- |
| US 6585958 | B1 | 20030701 | US 2001-744379 | 20010413 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | CH 1998-1565 | A 19980724 <-- | |
| | | WO 1999-CH337 | W 19990722 <-- | |

AB A compression-fluidized propellant mixture for aerosols, containing N2O and a C1-3 hydrofluoroalkane, especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3-heptafluoropropane, improves the wetting properties of pharmaceutical active ingredients so that the difficulties associated with the use of hydrofluoroalkanes in the preparation of suspension and solution aerosols can be overcome and improved medicinal aerosol formulations can be obtained. Using N2O, it is also possible to influence pressure and thus particle size distribution in a targeted manner and to improve the storage stability of oxidation-sensitive active ingredients by displacement of O2 out of the hydrofluoroalkanes. If desired the propellant mixture can also contain CO2. Thus, 8.5 kg HFA 227 containing 3 weight% EtOH was gassed with

N2O, pressurized to 5 bar at 20°, and added to 100 g di-Na cromoglycate in an evacuated vessel. After homogenizing, the suspension was dispensed into Al vials with dosing valves.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:96087 CAPLUS

DOCUMENT NUMBER: 132:141964

TITLE: Two-piece capsule for pharmaceutical preparations for dry powder inhalers

INVENTOR(S): Hochrainer, Dieter; Eckert, Josef

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|--------------|
| DE 19835346 | A1 | 20000210 | DE 1998-19835346 | 19980805 <-- |
| CA 2338323 | AA | 20000217 | CA 1999-2338323 | 19990803 <-- |
| WO 2000007572 | A2 | 20000217 | WO 1999-EP5614 | 19990803 <-- |
| WO 2000007572 | A3 | 20000511 | | |
| W: AU, BG, BR, CA, CN, CZ, EE, HU, ID, IL, IN, JP, KR, LT, LV, MX,
NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| AU 9957304 | A1 | 20000228 | AU 1999-57304 | 19990803 <-- |
| AU 763266 | B2 | 20030717 | | |
| BR 9912748 | A | 20010515 | BR 1999-12748 | 19990803 <-- |
| EP 1100474 | A2 | 20010523 | EP 1999-944325 | 19990803 <-- |
| EP 1100474 | B1 | 20020717 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| TR 200100355 | T2 | 20010621 | TR 2001-200100355 | 19990803 <-- |
| EE 200100073 | A | 20020617 | EE 2001-73 | 19990803 <-- |
| EE 4451 | B1 | 20050415 | | |
| JP 2002522378 | T2 | 20020723 | JP 2000-563258 | 19990803 <-- |
| AT 220542 | E | 20020815 | AT 1999-944325 | 19990803 <-- |
| PT 1100474 | T | 20021231 | PT 1999-944325 | 19990803 <-- |
| ES 2180325 | T3 | 20030201 | ES 1999-944325 | 19990803 <-- |
| SK 283568 | B6 | 20030911 | SK 2001-169 | 19990803 <-- |
| NZ 509977 | A | 20031128 | NZ 1999-509977 | 19990803 <-- |
| TW 221420 | B1 | 20041001 | TW 1999-88113240 | 19990803 <-- |
| BG 105189 | A | 20010731 | BG 2001-105189 | 20010126 <-- |
| BG 64115 | B1 | 20040130 | | |
| ZA 2001000796 | A | 20020529 | ZA 2001-796 | 20010129 <-- |
| NO 2001000535 | A | 20010131 | NO 2001-535 | 20010131 <-- |

| | | | | |
|------------------------|----|----------|------------------|-----------------|
| US 2001008637 | A1 | 20010719 | US 2001-800647 | 20010307 <-- |
| HK 1037975 | A1 | 20041210 | HK 2001-108874 | 20011219 <-- |
| US 2004131668 | A1 | 20040708 | US 2003-740225 | 20031218 <-- |
| PRIORITY APPLN. INFO.: | | | DE 1998-19835346 | A 19980805 <-- |
| | | | US 1998-113214P | P 19981222 <-- |
| | | | US 1999-365912 | A1 19990803 <-- |
| | | | WO 1999-EP5614 | W 19990803 <-- |
| | | | US 2001-800647 | A1 20010307 <-- |

AB Capsules for pharmaceutical preps. for use in dry powder inhalers with increased drug safety consist of water-insol., hydrophobic plastics which do not substantially affect the pharmaceutical quality of the contents, but improve their useful life and/or the geog. range of their use (especially with regard to humidity). The capsules have a Shore hardness of 65-73, such that during opening or puncture of the capsule, no capsule fragments are produced which could be inhaled, and that the capsule cannot spontaneously reseal after opening or puncture. They can withstand a force of ≤15 N in all directions during manufacture, filling, packing, and transport. The capsules have a permeability for water vapor of <1.3 + 10-14 kg/(m² s Pa).

L10 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:763852 CAPLUS

DOCUMENT NUMBER: 132:15622

TITLE: Tightly-compacted solid medicament stock for inhalation delivery

INVENTOR(S): Fleischer, Wolfgang; Reimer, Karen

PATENT ASSIGNEE(S): Euroceltique S.A., Luxembourg

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 9961003 | A1 | 19991202 | WO 1999-EP3680 | 19990527 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2332369 | AA | 19991202 | CA 1999-2332369 | 19990527 <-- |
| AU 9942667 | A1 | 19991213 | AU 1999-42667 | 19990527 <-- |
| AU 747877 | B2 | 20020530 | | |
| BR 9911070 | A | 20010206 | BR 1999-11070 | 19990527 <-- |
| EP 1083886 | A1 | 20010321 | EP 1999-953285 | 19990527 <-- |
| EP 1083886 | B1 | 20030402 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| DE 29923766 | U1 | 20010712 | DE 1999-29923766 | 19990527 <-- |
| JP 2002516269 | T2 | 20020604 | JP 2000-550463 | 19990527 <-- |
| AT 235895 | E | 20030415 | AT 1999-953285 | 19990527 <-- |
| RU 2202340 | C2 | 20030420 | RU 2000-131695 | 19990527 <-- |
| AT 319427 | E | 20060315 | AT 1999-926446 | 19990527 <-- |
| PRIORITY APPLN. INFO.: | | | US 1998-86895P | P 19980527 <-- |
| | | | EP 1999-953285 | A 19990527 <-- |
| | | | WO 1999-EP3680 | W 19990527 <-- |

AB A drug delivery system comprises a tightly-compacted solid medicament stock having an isotropic solid state structure, containing an active agent. The stock is suitable for the generation of inhalable particles

containing the active agent. The active agent is associated with a particulate carrier material, preferably liposomes. Suitable active agents are β 2-sympathomimetics, corticosteroids, anticholinergics, inflammation inhibitors and antiseptics.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:635641 CAPLUS

DOCUMENT NUMBER: 129:265477

TITLE: Preparation of powder agglomerates of drugs and solid binders

INVENTOR(S): Yang, Tsong-toh

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------|------------------|--------------|
| WO 9841193 | A1 | 19980924 | WO 1998-US3799 | 19980316 <-- |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2282360 | AA | 19980924 | CA 1998-2282360 | 19980316 <-- |
| CA 2282360 | C | 20041109 | | |
| CA 2481868 | AA | 19980924 | CA 1998-2481868 | 19980316 <-- |
| AU 9865378 | A1 | 19981012 | AU 1998-65378 | 19980316 <-- |
| AU 741783 | B2 | 20011206 | | |
| EP 969816 | A1 | 20000112 | EP 1998-911423 | 19980316 <-- |
| EP 969816 | B1 | 20041215 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO | | | | |
| JP 2000510478 | T2 | 20000815 | JP 1998-540530 | 19980316 <-- |
| NZ 337443 | A | 20010427 | NZ 1998-337443 | 19980316 <-- |
| EP 1393721 | A1 | 20040303 | EP 2003-20466 | 19980316 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO | | | | |
| CN 1552310 | A | 20041208 | CN 2004-10032204 | 19980316 <-- |
| AT 284677 | E | 20050115 | AT 1998-911423 | 19980316 <-- |
| PT 969816 | T | 20050429 | PT 1998-911423 | 19980316 <-- |
| ES 2234102 | T3 | 20050616 | ES 1998-911423 | 19980316 <-- |
| CZ 295460 | B6 | 20050817 | CZ 1999-3233 | 19980316 <-- |
| SK 284919 | B6 | 20060202 | SK 1999-1280 | 19980316 <-- |
| ZA 9802254 | A | 19980917 | ZA 1998-2254 | 19980317 <-- |
| TW 221778 | B1 | 20041011 | TW 1998-87103951 | 19980317 <-- |
| NO 9904519 | A | 19991119 | NO 1999-4519 | 19990917 <-- |
| HK 1021323 | A1 | 20050603 | HK 2000-100233 | 20000114 <-- |
| PRIORITY APPLN. INFO.: | | US 1997-821129 | A 19970320 <-- | |
| | | CA 1998-2282360 | A3 19980316 <-- | |
| | | EP 1998-911423 | A3 19980316 <-- | |
| | | WO 1998-US3799 | W 19980316 <-- | |

AB A method of producing an agglomerate of drug and solid binder is disclosed. The process involves producing individual agglomerate particles and then converting the convertible amorphous content of same, following agglomeration, by the application of, for example, moisture. Agglomerates capable of conversion as well as the finished agglomerates

and oral and nasal dosing systems including same are also contemplated. The process produces agglomerates which are rugged but which will produce an acceptable fine particle fraction during dosing. Agglomerates of lactose monohydrate (I) and mometasone furoate (II) were prepared under the following conditions: micronization of I and II at 21° and 20% relative humidity (RH), storage of micronized lactose at 21° and 20% RH, conversion of powder agglomerates at 25° and 50% RH. The agglomerates had bulk d. of 0.35 g/cm³, and mean particle size of 580 µm and the ratio of II:I was 1:5.8.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:548518 CAPLUS
 DOCUMENT NUMBER: 129:207207
 TITLE: Biocompatible polymer for pharmaceutical drug delivery aerosol formulations
 INVENTOR(S): Stefely, James S.; Schultz, David W.; Schallinger, Luke E.; Perman, Craig A.; Leach, Chester L.; Duan, Daniel C.
 PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Company, USA
 SOURCE: PCT Int. Appl., 84 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-----------------|
| WO 9834596 | A2 | 19980813 | WO 1998-US74 | 19980204 <-- |
| WO 9834596 | A3 | 19981105 | | |
| W: AU, CA, CN, CZ, HU, IL, JP, KR, MX, NZ, PL
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 6126919 | A | 20001003 | US 1997-797803 | 19970207 <-- |
| CA 2279522 | AA | 19980813 | CA 1998-2279522 | 19980204 <-- |
| AU 9862384 | A1 | 19980826 | AU 1998-62384 | 19980204 <-- |
| AU 724765 | B2 | 20000928 | | |
| EP 1014944 | A2 | 20000705 | EP 1998-904525 | 19980204 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| JP 2001511179 | T2 | 20010807 | JP 1998-534404 | 19980204 <-- |
| NZ 336903 | A | 20010831 | NZ 1998-336903 | 19980204 <-- |
| CN 1114400 | B | 20030716 | CN 1998-802390 | 19980204 <-- |
| AU 761559 | B2 | 20030605 | AU 2000-51854 | 20000807 <-- |
| US 6416742 | B1 | 20020709 | US 2000-634406 | 20000809 <-- |
| US 2002164290 | A1 | 20021107 | US 2002-78805 | 20020218 <-- |
| PRIORITY APPLN. INFO.: | | | US 1997-797803 | A 19970207 <-- |
| | | | AU 1998-62384 | A3 19980204 <-- |
| | | | WO 1998-US74 | W 19980204 <-- |
| | | | US 2000-634406 | A3 20000809 <-- |

AB A medicinal aerosol solution formulation contains a biocompatible polymer containing ≥ 1 unit [XR1CO] where each R1 is independently selected from organic diyl groups and each X is independently O, S, or a catenary N, a propellant, and a therapeutically effective amount of a drug. The formulation is suitable for oral and/or nasal inhalation. The biocompatible polymers are relatively low mol. weight and are particularly useful for drug solubilization and chemical stabilization as well as for providing sustained release of a drug from a drug delivery system. Thus, poly(L-lactic acid) acetate was prepared and preferred. mol. weight samples were separated by supercrit. fluid fractionation. A medicinal aerosol was formulated using the prepared polymer, butixocort propionate, and HFC 134a propellant. The formulation was delivered into the respiratory track and lungs of adult dogs and metabolite levels were determined An increased drug

residence time in the lungs was observed and attributed to sustained release as result of using the biocompatible polymer.

L10 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:548517 CAPLUS
DOCUMENT NUMBER: 129:166237
TITLE: Fluorocarbon propellants for medical aerosol formulations
INVENTOR(S): Keller, Manfred; Herzog, Kurt
PATENT ASSIGNEE(S): Jago Pharma A.-G., Switz.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 9834595 | A1 | 19980813 | WO 1998-CH37 | 19980202 <-- |
| W: AU, CA, JP, NO, NZ, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2280099 | AA | 19980813 | CA 1998-2280099 | 19980202 <-- |
| CA 2280099 | C | 20051227 | | |
| AU 9856496 | A1 | 19980826 | AU 1998-56496 | 19980202 <-- |
| AU 718967 | B2 | 20000504 | | |
| EP 1014943 | A1 | 20000705 | EP 1998-900837 | 19980202 <-- |
| EP 1014943 | B1 | 20020619 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| NZ 337065 | A | 20010223 | NZ 1998-337065 | 19980202 <-- |
| JP 2001511160 | T2 | 20010807 | JP 1998-533479 | 19980202 <-- |
| AT 219355 | E | 20020715 | AT 1998-900837 | 19980202 <-- |
| PT 1014943 | T | 20021129 | PT 1998-900837 | 19980202 <-- |
| ES 2178817 | T3 | 20030101 | ES 1998-900837 | 19980202 <-- |
| ZA 9800937 | A | 19980806 | ZA 1998-937 | 19980205 <-- |
| NO 9903773 | A | 19991004 | NO 1999-3773 | 19990804 <-- |
| US 6461591 | B1 | 20021008 | US 1999-355883 | 19990804 <-- |
| PRIORITY APPLN. INFO.: | | | CH 1997-248 | A 19970205 <-- |
| | | | WO 1998-CH37 | W 19980202 <-- |

AB A pressure-liquefied propellant mixture for aerosols comprising a fluoridated alkane [especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane (HFA 227)] and CO₂ improves the wetting properties for pharmaceutical active substances, whereby existing formulation problems with hydrofluoroalkanes in suspension and solution aerosols can be overcome and improved medical aerosol formulations can be obtained. By using CO₂, the pressure and hence the particle size distribution can be influenced in a targeted manner, and by removing O₂ from the hydrofluoroalkanes the stability during storage of oxidation-sensitive active substances can be improved. Thus, 1.5 kg HFA 227 was gassed with CO₂ and added at 6.5 bar and 20° to a solution of beclomethasone dipropionate 2.5 and oleic acid 0.25 in EtOH 55 g in a pressurized vessel; the mixture was dispensed into Al aerosol canisters. The mean aerodynamic particle diameter and fine particle dose per stroke of the dosing valve were .apprx.1.3 µm and 61.5 µg, resp., immediately after filling the canisters; after 6 mo storage at 30° and 70% relative humidity, these values were .apprx.1.3 µm and 71.8 µg, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:112203 CAPLUS
DOCUMENT NUMBER: 128:172135
TITLE: Aerosol formulations for pharmaceutical and medical

INVENTOR(S): uses
 Miller, Fiona
 PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK; Miller, Fiona
 SOURCE: PCT Int. Appl., 14 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 9805302 | A1 | 19980212 | WO 1997-GB1502 | 19970603 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ,
VN, YU | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG | | | | |
| CA 2261879 | AA | 19980212 | CA 1997-2261879 | 19970603 <-- |
| AU 9730381 | A1 | 19980225 | AU 1997-30381 | 19970603 <-- |
| AU 721920 | B2 | 20000720 | | |
| EP 918507 | A1 | 19990602 | EP 1997-925141 | 19970603 <-- |
| EP 918507 | B1 | 20020403 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| JP 2000515536 | T2 | 20001121 | JP 1998-507695 | 19970603 <-- |
| AT 215359 | E | 20020415 | AT 1997-925141 | 19970603 <-- |
| PT 918507 | T | 20020930 | PT 1997-925141 | 19970603 <-- |
| ES 2175413 | T3 | 20021116 | ES 1997-925141 | 19970603 <-- |
| NO 9900454 | A | 19990329 | NO 1999-454 | 19990129 <-- |

PRIORITY APPLN. INFO.:

| | |
|----------------|----------------|
| GB 1996-16237 | A 19960801 <-- |
| WO 1997-GB1502 | W 19970603 <-- |

AB The replacement of chlorofluorohydrocarbon propellants in medical aerosols is of the utmost importance to the pharmaceutical industry. A number of formulations have been investigated. The present invention provides a medical aerosol formulation comprising a particular medicament, a fluorocarbon propellant, and a polar co-solvent, such formulation being substantially free of surfactant. Cannisters suitable for delivering such a pharmaceutical formulation are also provided. Micronized salbutamol sulfate was added to ethanol to give a suspension, which was filled into an aerosol canister. The metering valve assembly was crimped on the canister and tetrafluoroethane was filled through the valve, in which the valve capacity was to deliver 100 µg salbutamol per actuation.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:728984 CAPLUS
 DOCUMENT NUMBER: 125:339082
 TITLE: Process for the preparation of respirable particles
 INVENTOR(S): Jakupovic, Edib; Trofast, Jan
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
 SOURCE: PCT Int. Appl., 17 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|---|----|----------|------------------|--------------|
| ✓ WO 9632095 | A1 | 19961017 | WO 1996-SE479 | 19960412 <-- |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| ZA 9602596 | A | 19961014 | ZA 1996-2596 | 19960401 <-- |
| TW 492877 | B | 20020701 | TW 1996-85103802 | 19960401 <-- |
| IN 185119 | A | 20001118 | IN 1996-DE723 | 19960402 <-- |
| IL 117841 | A1 | 20040104 | IL 1996-117841 | 19960408 <-- |
| CA 2217062 | AA | 19961017 | CA 1996-2217062 | 19960412 <-- |
| AU 9653524 | A1 | 19961030 | AU 1996-53524 | 19960412 <-- |
| AU 694863 | B2 | 19980730 | | |
| EP 820276 | A1 | 19980128 | EP 1996-910285 | 19960412 <-- |
| EP 820276 | B1 | 20030102 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| CN 1186428 | A | 19980701 | CN 1996-194372 | 19960412 <-- |
| CN 1102383 | B | 20030305 | | |
| JP 11503448 | T2 | 19990326 | JP 1996-530963 | 19960412 <-- |
| AT 230257 | E | 20030115 | AT 1996-910285 | 19960412 <-- |
| PT 820276 | T | 20030430 | PT 1996-910285 | 19960412 <-- |
| ES 2188750 | T3 | 20030701 | ES 1996-910285 | 19960412 <-- |
| US 6221398 | B1 | 20010424 | US 1996-669477 | 19960710 <-- |
| NO 9704557 | A | 19971002 | NO 1997-4557 | 19971002 <-- |
| NO 316209 | B1 | 20031229 | | |

PRIORITY APPLN. INFO.: SE 1995-1384 A 19950413 <--
WO 1996-SE479 W 19960412 <--

AB A process for producing a pharmaceutical powder for inhalation comprising crystalline particles of an inhalation compound, comprising dissolving an inhalation compound in a solvent; and introducing the solution containing the inhalation compound in droplet form or as a jet stream, into an anti-solvent which is miscible with the solvent and which is under agitation.

L10 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:476908 CAPLUS

DOCUMENT NUMBER: 125:123754

TITLE: Aerosol drug formulations containing hydrofluoralkane propellants and surfactants

INVENTOR(S): Baeckstroem, Kjell; Dahlbaeck, Magnus; Johansson, Ann; Kaellstrand, Goeran; Lindqvist, Elisabet

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 9619198 | A1 | 19960627 | WO 1995-SE1542 | 19951219 <-- |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9510754 | A | 19960624 | ZA 1995-10754 | 19951218 <-- |
| CA 2206782 | AA | 19960627 | CA 1995-2206782 | 19951219 <-- |
| AU 9643593 | A1 | 19960710 | AU 1996-43593 | 19951219 <-- |
| AU 702880 | B2 | 19990311 | | |

| | | | | |
|--|----|----------|----------------|-----------------|
| EP 806940 | A1 | 19971119 | EP 1995-942343 | 19951219 <-- |
| EP 806940 | B1 | 20030409 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, LT, LV | | | | |
| CN 1170356 | A | 19980114 | CN 1995-196953 | 19951219 <-- |
| CN 1088580 | B | 20020807 | | |
| BR 9510510 | A | 19980707 | BR 1995-10510 | 19951219 <-- |
| HU 77775 | A2 | 19980828 | HU 1998-483 | 19951219 <-- |
| CZ 288146 | B6 | 20010516 | CZ 1997-1947 | 19951219 <-- |
| AT 236617 | E | 20030415 | AT 1995-942343 | 19951219 <-- |
| IL 116460 | A1 | 20031031 | IL 1995-116460 | 19951219 <-- |
| US 6932962 | B1 | 20050823 | US 1996-601005 | 19951219 <-- |
| NO 9702681 | A | 19970611 | NO 1997-2681 | 19970611 <-- |
| NO 318229 | B1 | 20050221 | | |
| FI 9702655 | A | 19970619 | FI 1997-2655 | 19970619 <-- |
| JP 2006124404 | A2 | 20060518 | JP 2006-29673 | 20060207 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | SE 1994-4469 | A 19941222 <-- |
| | | | SE 1995-2452 | A 19950706 <-- |
| | | | JP 1996-519732 | A3 19951219 <-- |
| | | | WO 1995-SE1542 | W 19951219 <-- |

AB Aerosol formulations suitable for use in pressurized metered dose inhalers comprise a hydrofluoralkane propellant, a medicament for inhalation and a surfactant which is a C8-C16 fatty acid or salt thereof, a bile salt, a phospholipid, or an alkyl saccharide. Micronized formoterol fumarate and micronized Na taurocholate were added to a plastic-coated glass bottle. The bottle was chilled to -40° with a mixture of CO₂ ice and isopropanol and then chilled 1,1,1,2-tetrafluoroethane was added. The bottle was sealed with a metering valve and treated in an ultrasonic bath for 10 min to give a good suspension.

L10 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:528673 CAPLUS
 DOCUMENT NUMBER: 122:274076
 TITLE: Process for conditioning substances
 INVENTOR(S): Trofast, Eva Ann-Christin; Briggner, Lars-Erik
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| WO 9505805 | A1 | 19950302 | WO 1994-SE780 | 19940825 <-- |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN,
MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US,
UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9405675 | A | 19960429 | ZA 1994-5675 | 19940729 <-- |
| TW 427916 | B | 20010401 | TW 1994-83107152 | 19940804 <-- |
| IL 110698 | A1 | 20021110 | IL 1994-110698 | 19940818 <-- |
| CA 2170394 | AA | 19950302 | CA 1994-2170394 | 19940825 <-- |
| CA 2170394 | C | 20041012 | | |
| AU 9476264 | A1 | 19950321 | AU 1994-76264 | 19940825 <-- |
| AU 681186 | B2 | 19970821 | | |
| BR 9407320 | A | 19960416 | BR 1994-7320 | 19940825 <-- |
| EP 717616 | A1 | 19960626 | EP 1994-926421 | 19940825 <-- |
| EP 717616 | B1 | 20010321 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1133004 | A | 19961009 | CN 1994-193793 | 19940825 <-- |

| | | | | |
|------------------------|----|----------|----------------|----------------|
| CN 1049333 | B | 20000216 | | |
| HU 74000 | A2 | 19961028 | HU 1996-447 | 19940825 <-- |
| HU 217770 | B | 20000428 | | |
| JP 09501930 | T2 | 19970225 | JP 1994-507516 | 19940825 <-- |
| JP 2978247 | B2 | 19991115 | | |
| PL 176749 | B1 | 19990730 | PL 1994-313142 | 19940825 <-- |
| RU 2148992 | C1 | 20000520 | RU 1996-105935 | 19940825 <-- |
| AT 199828 | E | 20010415 | AT 1994-926421 | 19940825 <-- |
| ES 2156158 | T3 | 20010616 | ES 1994-926421 | 19940825 <-- |
| PT 717616 | T | 20010830 | PT 1994-926421 | 19940825 <-- |
| CZ 289018 | B6 | 20011017 | CZ 1996-544 | 19940825 <-- |
| SK 283146 | B6 | 20030304 | SK 1996-234 | 19940825 <-- |
| US 5709884 | A | 19980120 | US 1995-379471 | 19950130 <-- |
| NO 9600744 | A | 19960223 | NO 1996-744 | 19960223 <-- |
| NO 312433 | B1 | 20020513 | | |
| FI 9600869 | A | 19960226 | FI 1996-869 | 19960226 <-- |
| CN 1195523 | A | 19981014 | CN 1997-123049 | 19971126 <-- |
| CN 1090019 | B | 20020904 | | |
| HK 1016493 | A1 | 20030425 | HK 1999-101600 | 19990414 <-- |
| GR 3036106 | T3 | 20010928 | GR 2001-400955 | 20010621 <-- |
| PRIORITY APPLN. INFO.: | | | SE 1993-2777 | A 19930827 <-- |
| | | | WO 1994-SE780 | W 19940825 <-- |

AB The present invention relates to a process for providing a stable crystalline form to a fine-grained substance or a substance mixture, which can be produced, stored and used while maintaining the aerodynamic properties required for inhalation of such a substance or a substance mixture, by a) in case of a substance mixture, preparing a homogeneous mixture of the substances; b) micronizing, direct precipitating or diminishing by any conventional method the substance or substance mixture into a particle size required for inhalation, the particle size being less than 10 µm; c) optionally preparing a homogeneous mixture of the desired substances when each substance has been introduced from stage b) as sep. fine-grained particles; d) conditioning said substance or substance mixture by treatment with a water containing vapor phase in a controlled fashion; and e) drying.

L10 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:589788 CAPLUS
 DOCUMENT NUMBER: 115:189788
 TITLE: Hydrofluorocarbon propellants for pharmaceutical aerosols
 INVENTOR(S): Steele, Gerald; Somani, Asit; Lim, Joseph Geok Paan
 PATENT ASSIGNEE(S): Fisons PLC, UK
 SOURCE: PCT Int. Appl., 18 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|--|----------|-----------------|--------------|
| WO 9111173 | A1 | 19910808 | WO 1991-GB133 | 19910130 <-- |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, | DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | |
| IL 97065 | A1 | 19940125 | IL 1991-97065 | 19910128 <-- |
| CA 2074495 | AA | 19910803 | CA 1991-2074495 | 19910130 <-- |
| CA 2074495 | C | 20031216 | | |
| ZA 9100696 | A | 19911030 | ZA 1991-696 | 19910130 <-- |
| EP 513127 | A1 | 19921119 | EP 1991-903548 | 19910130 <-- |
| EP 513127 | B1 | 19950719 | | |
| R: AT, BE, CH, | DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | |
| JP 05503523 | T2 | 19930610 | JP 1991-503797 | 19910130 <-- |
| JP 2858948 | B2 | 19990217 | | |

| | | | | |
|------------------------|----|----------|----------------|-----------------|
| ES 2075956 | T3 | 19951016 | ES 1991-903548 | 19910130 <-- |
| US 6582677 | B1 | 20030624 | US 1996-766580 | 19961212 <-- |
| PRIORITY APPLN. INFO.: | | | GB 1990-2351 | A 19900202 <-- |
| | | | GB 1990-23655 | A 19901031 <-- |
| | | | GB 1990-26476 | A 19901205 <-- |
| | | | WO 1991-GB133 | W 19910130 <-- |
| | | | US 1992-916107 | B1 19920722 <-- |
| | | | US 1994-355106 | B1 19941213 <-- |

AB A pressurized aerosol composition comprises a liquefied hydrofluorocarbon propellant containing substantially no nonhydrofluorocarbon solvent having dispersed therein a medicament and a fluorinated surfactant. The propellants are substantially taste- and odor-free and have suitable vapor pressures for the administration of medicaments by inhalation, yet are environmentally safe and acceptable. Thus, a composition containing nedocromil Na
 0.200, FC 431 (fluorinated acrylic polymer) 0.061, and CF₃CFH₂ 11.979 g was filled into Al aerosol canister.

=> s beclomethasone or flunisolide or triamcinolone acetonide or dexamethasone or tipredane or ciclesonid or refloponide or mometaosone or budesonide

| | | | | |
|---|--|--|--|--|
| 1589 BECLOMETHASONE | | | | |
| 518 FLUNISOLIDE | | | | |
| 1 FLUNISOLIDES | | | | |
| 518 FLUNISOLIDE | | | | |
| (FLUNISOLIDE OR FLUNISOLIDES) | | | | |
| 4064 TRIAMCINOLONE | | | | |
| 9 TRIAMCINOLONES | | | | |
| 4066 TRIAMCINOLONE | | | | |
| (TRIAMCINOLONE OR TRIAMCINOLONES) | | | | |
| 4973 ACETONIDE | | | | |
| 344 ACETONIDES | | | | |
| 5113 ACETONIDE | | | | |
| (ACETONIDE OR ACETONIDES) | | | | |
| 2331 TRIAMCINOLONE ACETONIDE | | | | |
| (TRIAMCINOLONE (W)ACETONIDE) | | | | |
| 33435 DEXAMETHASONE | | | | |
| 17 DEXAMETHASONES | | | | |
| 33436 DEXAMETHASONE | | | | |
| (DEXAMETHASONE OR DEXAMETHASONES) | | | | |
| 59 TIPREDANE | | | | |
| 1 CICLESONID | | | | |
| 0 REFLOPONIDE | | | | |
| 0 MOMETAOSONE | | | | |
| 1978 BUDESONIDE | | | | |
| L11 37485 BECLOMETHASONE OR FLUNISOLIDE OR TRIAMCINOLONE ACETONIDE OR | | | | |
| DEXAMETHASONE OR TIPREDANE OR CICLESONID OR REFLOPONIDE OR MOMET | | | | |
| AOSONE OR BUDESONIDE | | | | |

=> s 73573-87-2

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L13 646 L12

=> s L13 and L11

L14 217 L13 AND L11

=> dup rem L14
PROCESSING COMPLETED FOR L14
L15 217 DUP REM L14 (0 DUPLICATES REMOVED)

=> s L14 and (AY<2002 or PY<2002 or PRY<2002)
4142168 AY<2002
21819042 PY<2002
3591199 PRY<2002
L16 108 L14 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> s water
2377679 WATER
256925 WATERS
L17 2433410 WATER
(WATER OR WATERS)

=> s L16 and L17
L18 14 L16 AND L17

=> s aqueous and L16
171951 AQUEOUS
1 AQUEOUSES
171952 AQUEOUS
(AQUEOUS OR AQUEOUSES)
1052024 AQ
156 AQS
1052116 AQ
(AQ OR AQS)
1086511 AQUEOUS
(AQUEOUS OR AQ)
L19 7 AQUEOUS AND L16

=> d L18 1-14 ibib abs

L18 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1311702 CAPLUS
DOCUMENT NUMBER: 144:57525
TITLE: Coated vaginal devices for vaginal delivery of
therapeutically effective and/or health-promoting
agents
INVENTOR(S): Wilson, Michelle; Desai, Kishorkumar J.; Pauletti,
Giovanni M.; Antoon, Mitchell K.; Clendening, Chris E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S.
Ser. No. 126,863
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| US 2005276836 | A1 | 20051215 | US 2005-180076 | 20050712 <-- |
| US 6197327 | B1 | 20010306 | US 1998-79897 | 19980515 <-- |
| US 6086909 | A | 20000711 | US 1999-249963 | 19990212 <-- |
| US 6572874 | B1 | 20030603 | US 2000-626025 | 20000727 <-- |
| NZ 508130 | A | 20020301 | NZ 2000-508130 | 20001113 <-- |
| AU 765269 | B2 | 20030911 | AU 2001-54192 | 20010703 <-- |
| US 2003049302 | A1 | 20030313 | US 2002-226667 | 20020821 <-- |
| US 6982091 | B2 | 20060103 | | |
| US 2004005345 | A1 | 20040108 | US 2003-349029 | 20030122 <-- |

| | | | | |
|------------------------|----|----------|-----------------|-----------------|
| US 6905701 | B2 | 20050614 | | |
| US 2004043071 | A1 | 20040304 | US 2003-600849 | 20030620 |
| US 2005249774 | A1 | 20051110 | US 2005-126863 | 20050510 <-- |
| US 2006002966 | A1 | 20060105 | US 2005-208209 | 20050818 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1997-49325P | P 19970611 <-- |
| | | | US 1998-79897 | A2 19980515 <-- |
| | | | US 1999-249963 | A2 19990212 <-- |
| | | | US 2000-626025 | A2 20000727 <-- |
| | | | US 2002-226667 | A2 20020821 |
| | | | US 2003-349029 | A2 20030122 |
| | | | US 2003-600849 | A2 20030620 |
| | | | US 2004-587454P | P 20040712 |
| | | | US 2005-126863 | A2 20050510 |
| | | | AU 1998-76976 | A3 19980610 <-- |
| | | | NZ 1998-502120 | A1 19980610 <-- |
| | | | US 1999-146218P | P 19990728 <-- |
| | | | US 2001-315877P | P 20010829 <-- |
| | | | US 2002-390748P | P 20020621 |

AB Disclosed is a vaginal device for delivering therapeutical and/or health-promoting agents. The vaginal device partly or completely coated by, covered by or combined with a coating or covering comprising a film, foam, strip, cap, cup or particles. The coating of the device comprises a mucoadhesive composition comprising a therapeutical and/or health-promoting agent. For example, sumatriptan vaginal suppository were prepared from Suppocire AS2X, hydroxypropyl Me cellulose as a mucoadhesive agent, and Transcutol as a permeation enhancer.

L18 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:376128 CAPLUS
 DOCUMENT NUMBER: 138:374176
 TITLE: Water soluble or nonwater soluble nanoparticulates generation directly in suspension or dispersion media
 INVENTOR(S): Mohsen, Nahed M.; Armer, Thomas A.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 5 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------|----------|-----------------|----------------|
| ----- | ----- | ----- | ----- | ----- |
| US 2003091513 | A1 | 20030515 | US 2002-264030 | 20021003 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-326442P | P 20011003 <-- |

AB A method for preparing a formulation containing nanoparticles of a compound is described. The method includes forming the compound into nanoparticles and then delivering the nanoparticles directly to a collection media. The collection media is a desired component of the formulation. The nanomedicaments are fabricated using supercrit fluid processes. An example formulation contained **budesonide**, Tyloxapol, benzalkonium chloride, and citrate buffer.

L18 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:376127 CAPLUS
 DOCUMENT NUMBER: 138:390904
 TITLE: Water stabilized medicinal aerosol formulation
 INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U. S. Ser. No. 619,183, abandoned.
 CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| US 2003091512 | A1 | 20030515 | US 2002-234825 | 20020903 <-- |
| US 6261539 | B1 | 20010717 | US 1998-209228 | 19981210 <-- |
| CA 2497171 | AA | 20040318 | CA 2003-2497171 | 20030903 |
| WO 2004022035 | A1 | 20040318 | WO 2003-US27245 | 20030903 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003272251 | A1 | 20040329 | AU 2003-272251 | 20030903 |
| EP 1569617 | A1 | 20050907 | EP 2003-754425 | 20030903 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006502160 | T2 | 20060119 | JP 2004-534386 | 20030903 |
| PRIORITY APPLN. INFO.: | | | | |
| US 1998-209228 A2 19981210 <-- | | | | |
| US 2000-619183 B2 20000719 <-- | | | | |
| US 2002-234825 A 20020903 | | | | |
| WO 2003-US27245 W 20030903 | | | | |

AB This invention relates to a medicinal aerosol suspension formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug or a combination of at least two particulate drugs, a propellant and a stabilizing agent comprising a **water** addition

L18 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:813911 CAPLUS
 DOCUMENT NUMBER: 137:316082
 TITLE: Formoterol/steroid bronchodilating compositions and methods of use thereof
 INVENTOR(S): Banerjee, Partha S.; Chaudry, Imitiaz A.
 PATENT ASSIGNEE(S): Dey LP, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002083113 | A2 | 20021024 | WO 2002-US6252 | 20020301 <-- |
| WO 2002083113 | A3 | 20030320 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003055026 | A1 | 20030320 | US 2001-887496 | 20010622 <-- |

| | | | |
|--|-------------|-----------------|-----------------|
| CA 2444535 | AA 20021024 | CA 2002-2444535 | 20020301 <-- |
| EP 1385494 | A2 20040204 | EP 2002-719098 | 20020301 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2005512944 | T2 20050512 | JP 2002-580917 | 20020301 <-- |
| US 2002183293 | A1 20021205 | US 2002-145978 | 20020513 <-- |
| PRIORITY APPLN. INFO.: | | US 2001-284607P | P 20010417 <-- |
| | | US 2001-887496 | A1 20010622 <-- |
| | | WO 2002-US6252 | W 20020301 |

AB Bronchodilating compns. intended for administration as a nebulized aerosol are provided. In certain embodiments, the compns. contain formoterol, or a derivative thereof, and a steroid anti-inflammatory agent. Methods for treatment, prevention, or amelioration of one or more symptoms of bronchoconstrictive disorders using the compns. provided herein are also provided. For example, a solution was prepared containing Formoterol fumarate dihydrate 85 µg/mL, budesonide 125 µg/mL, vitamin E TPGS 10 µg/mL, Polyethylene glycol 10 µg/mL, citrate buffer 50mM, sodium chloride 7.5 mg/mL, and water as needed.

L18 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:574906 CAPLUS
 DOCUMENT NUMBER: 137:129896
 TITLE: Process for preparing particles of a protein or polypeptide
 INVENTOR(S): Sundholm, Goran Eric; Demirbuker, Mustafa; Moshashaee, Saeed
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002058674 | A2 | 20020801 | WO 2002-GB261 | 20020121 <-- |
| WO 2002058674 | A3 | 20021121 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2433838 | AA | 20020801 | CA 2002-2433838 | 20020121 <-- |
| EP 1357901 | A2 | 20031105 | EP 2002-715546 | 20020121 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002006439 | A | 20031230 | BR 2002-6439 | 20020121 <-- |
| JP 2004521891 | T2 | 20040722 | JP 2002-559008 | 20020122 <-- |
| NZ 526942 | A | 20050429 | NZ 2002-526942 | 20020122 <-- |
| ZA 2003004953 | A | 20040825 | ZA 2003-4953 | 20030625 <-- |
| US 2004058007 | A1 | 20040325 | US 2003-250868 | 20030708 <-- |
| NO 2003003241 | A | 20030717 | NO 2003-3241 | 20030717 <-- |
| PRIORITY APPLN. INFO.: | | | GB 2001-2075 | A 20010126 <-- |
| | | | WO 2002-GB261 | W 20020121 |

AB A process for preparing particles of a substance, such as a protein or polypeptide, comprising: (a) preparing a first liquid comprising water, the substance and a modulator, wherein the modulator has a solubility in water which decreases with increasing temperature; and (b) contacting

the first liquid with a second liquid comprising a fluid gas and an organic solvent using an anti-solvent fluid gas technique under conditions of temperature and pressure which result in the precipitation of particles comprising the substance, wherein the temperature of the first liquid is at or above the cloud point temperature of the first liquid when the first liquid contacts the second liquid

Also claimed are particles obtained according to the process and compns. containing the particles. Lysozyme was dissolved in a tri-Et citrate solution, mixed with CO₂ modified with ethanol through a coaxial nozzle, and processed in a SEDS particle formation chamber.

L18 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555336 CAPLUS

DOCUMENT NUMBER: 137:114526

TITLE: A method for the preparation of nanoparticles

INVENTOR(S): Watanabe, Wiwik; Kauppinen, Esko; Ahonen, Petri; Brown, David; Muttonen, Esa

PATENT ASSIGNEE(S): Orion Corporation, Finland

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002056866 | A1 | 20020725 | WO 2002-FI42 | 20020118 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1351666 | A1 | 20031015 | EP 2002-710900 | 20020118 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004520157 | T2 | 20040708 | JP 2002-557374 | 20020118 <-- |
| US 2004091542 | A1 | 20040513 | US 2003-466365 | 20031211 <-- |
| PRIORITY APPLN. INFO.: | | | FI 2001-115 | A 20010118 <-- |
| | | | WO 2002-FI42 | W 20020118 |

AB The invention relates to free nano-sized particles of active agents e.g. therapeutic, cosmetic or diagnostic agents, and to a method for the preparation of such particles. The method comprises providing a liquid feed stock comprising an active agent or combination of two or more active agents, atomizing the liquid feed stock, suspending the droplets in a carrier gas, and passing the carrier gas and droplets through a heated tube flow reactor under predetd. residence time and temperature history, and collecting the particles produced. Nano-sized crystalline spherical uncharged particles with narrow aerodynamic particle size distribution and rough surfaces, are obtained. The particles show improved dissoln. rate in-vitro and bioavailability in-vivo, dispersibility and stability. Nanosized **beclomethasone dipropionate** particles were prepared

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:487374 CAPLUS

DOCUMENT NUMBER: 137:52399

TITLE: Pharmaceutical aerosol formulations containing alkyl polyglycoside
 INVENTOR(S): Buckton, Graham; Columbano, Angela; Grosvenor, Martin;
 Wikeley, Philip
 PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002049616 | A1 | 20020627 | WO 2001-SE2853 | 20011219 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002016576 | A5 | 20020701 | AU 2002-16576 | 20011219 <-- |
| EP 1345591 | A1 | 20030924 | EP 2001-271213 | 20011219 <-- |
| EP 1345591 | B1 | 20050302 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004516261 | T2 | 20040603 | JP 2002-550958 | 20011219 <-- |
| AT 289803 | E | 20050315 | AT 2001-271213 | 20011219 <-- |
| US 2004082520 | A1 | 20040429 | US 2003-451162 | 20031125 <-- |
| PRIORITY APPLN. INFO.: | | | SE 2000-4750 | A 20001219 <-- |
| | | | WO 2001-SE2853 | W 20011219 <-- |

OTHER SOURCE(S): MARPAT 137:52399

AB The invention relates to a pharmaceutical aerosol formulation comprising a surfactant that is an alkyl polyglycoside (the average degree of polymerization of

1-4) for the administration of a drug for inhalation. Propellant HFA-134a was dispensed chilled (at -55°) into a 400-mL can. A valve was then crimped onto the can and the propellant allowed to return to ambient temperature. Beclomethasone dipropionate was weighed into a 30-mL glass vial and 20 mL of surfactant (alkyl polyglycoside at 0.8 g/L) solution in water. The resultant suspension was incubated at 25° for 3 h hours, to allow adsorption of the surfactant to the surface of the drug, and to give a drug-surfactant ratio of 10 mg surfactant/g drug. The suspension was centrifuged and the particles of drug-surfactant were separated from the supernatant and dried in an oven at 50° for 24 h. This was mixed with the propellant, and the final composition contained beclomethasone dipropionate and glycoside 0.2% and HFA-134a to 100%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:122837 CAPLUS
 DOCUMENT NUMBER: 136:189346
 TITLE: Medical electropowders for inhalers
 INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar
 PATENT ASSIGNEE(S): Microdrug A.-G., Switz.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2002011803 | A1 | 20020214 | WO 2001-SE1682 | 20010727 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| SE 2000002822 | A | 20020129 | SE 2000-2822 | 20000804 <-- |
| SE 516555 | C2 | 20020129 | | |
| US 6696090 | B1 | 20040224 | US 2000-636548 | 20000811 <-- |
| CA 2417225 | AA | 20020214 | CA 2001-2417225 | 20010727 <-- |
| AU 2001082743 | A5 | 20020218 | AU 2001-82743 | 20010727 <-- |
| EP 1309369 | A1 | 20030514 | EP 2001-961481 | 20010727 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001012903 | A | 20030701 | BR 2001-12903 | 20010727 <-- |
| JP 2004505685 | T2 | 20040226 | JP 2002-517135 | 20010727 <-- |
| PRIORITY APPLN. INFO.: | | | SE 2000-2822 | A 20000804 <-- |
| | | | WO 2001-SE1682 | W 20010727 <-- |

AB A method and a process are disclosed for preparation of medical electro-powders. The electro-powder results from preps. of chemical and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. The electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0,5-5 µm and provides electrostatic properties with an absolute specific charge per mass after charging of the order 0.1x10-6 to 25x10-6 C/g and presenting a charge decay rate constant Q50 > 0.1 s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chemical or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compound to improve electrostatic properties of the medical dry powder substance or dry powder medical formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is encapsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:89782 CAPLUS

DOCUMENT NUMBER: 136:139841

TITLE: A medicinal aerosol formulation containing a particulate drug

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002007672 | A2 | 20020131 | WO 2000-US42625 | 20001207 <-- |
| WO 2002007672 | A3 | 20020627 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001047123 | A5 | 20020205 | AU 2001-47123 | 20001207 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-619183 | A 20000719 <-- |
| | | | WO 2000-US42625 | W 20001207 <-- |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, or combination of at least two particulate drugs a propellant and a stabilizing agent comprising a **water** addition (no data).

L18 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:863509 CAPLUS

DOCUMENT NUMBER: 136:15232

TITLE: Methods for treating immunomediated inflammatory disorders and changing skin pigmentation

Costanzo, Michael J.

INVENTOR(S):

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 110,409.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-----------------|
| US 6323219 | B1 | 20011127 | US 1999-238882 | 19990127 <-- |
| AU 2002305718 | A1 | 20031212 | AU 2002-305718 | 20020524 |
| EP 1507509 | A1 | 20050223 | EP 2002-734558 | 20020524 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRIORITY APPLN. INFO.: | | | US 1998-80441P | P 19980402 <-- |
| | | | US 1998-110409 | A2 19980706 <-- |
| | | | WO 2002-US16713 | A 20020524 |

OTHER SOURCE(S): MARPAT 136:15232

AB Methods and compns. are provided for bringing about changes in skin pigmentation and for treating inflammatory disorders. More particularly, the invention provides compds. which affect melanogenesis and can be used as depigmenting agents or as agents for darkening skin utilizing the protease-activated receptor 2 (PAR-2) pathway and compds. for the prevention and treatment of immunomediated inflammatory diseases, particularly those associated with the respiratory tract, e.g. asthma and allergic rhinitis.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:401693 CAPLUS

DOCUMENT NUMBER: 133:34456

TITLE: A medicinal aerosol formulation

INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.
 PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 20000033892 | A1 | 20000615 | WO 1999-US28644 | 19991203 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6261539 | B1 | 20010717 | US 1998-209228 | 19981210 <-- |
| CA 2353959 | AA | 20000615 | CA 1999-2353959 | 19991203 <-- |
| EP 1135173 | A1 | 20010926 | EP 1999-965104 | 19991203 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| AU 749636 | B2 | 20020627 | AU 2000-31089 | 19991203 <-- |
| JP 2003521459 | T2 | 20030715 | JP 2000-586382 | 19991203 <-- |
| PRIORITY APPLN. INFO.: | | | US 1998-209228 | A 19981210 <-- |
| | | | WO 1999-US28644 | W 19991203 <-- |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant and a stabilizing agent comprising a **water** addition. Generally the formulations can be prepared by combining (1) the drug, e.g. **triamcinolone acetonide**, in an amount sufficient to provide a plurality of therapeutically EDs, (2) the **water** addition in an amount effective to stabilize each of the formulations, (3) the propellant in an amount sufficient to propel a plurality of doses from an aerosol canister, and (4) any further optional components, e.g. ethanol as a cosolvent and dispersing the components.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:351357 CAPLUS
 DOCUMENT NUMBER: 133:9107
 TITLE: Dry powder for inhalation
 INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi
 PATENT ASSIGNEE(S): Skyepharma A.-G., Switz.
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000028979 | A1 | 20000525 | WO 1999-CH528 | 19991110 <-- |
| W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| CA 2347856 | AA | 20000525 | CA 1999-2347856 | 19991110 <-- |
| AU 9964578 | A1 | 20000605 | AU 1999-64578 | 19991110 <-- |
| AU 756852 | B2 | 20030123 | | |

| | | | | |
|--|----|----------|----------------|--------------|
| EP 1131059 | A1 | 20010912 | EP 1999-952212 | 19991110 <-- |
| EP 1131059 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, RO | | | | |
| JP 2002529498 | T2 | 20020910 | JP 2000-582027 | 19991110 <-- |
| NZ 511527 | A | 20021025 | NZ 1999-511527 | 19991110 <-- |
| EP 1283036 | A1 | 20030212 | EP 2002-25796 | 19991110 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY | | | | |
| AT 233550 | E | 20030315 | AT 1999-952212 | 19991110 <-- |
| PT 1131059 | T | 20030731 | PT 1999-952212 | 19991110 <-- |
| ES 2192866 | T3 | 20031016 | ES 1999-952212 | 19991110 <-- |
| RU 2221552 | C2 | 20040120 | RU 2001-116074 | 19991110 <-- |
| SK 284889 | B6 | 20060202 | SK 2001-632 | 19991110 <-- |
| ZA 2001003627 | A | 20010509 | ZA 2001-3627 | 20010504 <-- |
| NO 2001002346 | A | 20010626 | NO 2001-2346 | 20010511 <-- |
| US 6645466 | B1 | 20031111 | US 2001-831011 | 20010809 <-- |
| US 2004202616 | A1 | 20041014 | US 2003-628965 | 20030728 <-- |
| CH 1998-2286 A 19981113 <-- | | | | |
| EP 1999-952212 A3 19991110 <-- | | | | |
| WO 1999-CH528 W 19991110 <-- | | | | |
| US 2001-831011 A1 20010809 <-- | | | | |

PRIORITY APPLN. INFO.:

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H₂O (particle size 100% <200 µm, 50% <125 µm, 10% <75 µm) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H₂O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:96087 CAPLUS

DOCUMENT NUMBER: 132:141964

TITLE: Two-piece capsule for pharmaceutical preparations for dry powder inhalers

INVENTOR(S): Hochrainer, Dieter; Eckert, Josef

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|--------------|
| DE 19835346 | A1 | 20000210 | DE 1998-19835346 | 19980805 <-- |
| CA 2338323 | AA | 20000217 | CA 1999-2338323 | 19990803 <-- |
| WO 2000007572 | A2 | 20000217 | WO 1999-EP5614 | 19990803 <-- |
| WO 2000007572 | A3 | 20000511 | | |
| W: AU, BG, BR, CA, CN, CZ, EE, HU, ID, IL, IN, JP, KR, LT, LV, MX,
NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| AU 9957304 | A1 | 20000228 | AU 1999-57304 | 19990803 <-- |
| AU 763266 | B2 | 20030717 | | |
| BR 9912748 | A | 20010515 | BR 1999-12748 | 19990803 <-- |
| EP 1100474 | A2 | 20010523 | EP 1999-944325 | 19990803 <-- |

| | | | | |
|--|----|----------|-------------------|-----------------|
| EP 1100474 | B1 | 20020717 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| TR 200100355 | T2 | 20010621 | TR 2001-200100355 | 19990803 <-- |
| EE 200100073 | A | 20020617 | EE 2001-73 | 19990803 <-- |
| EE 4451 | B1 | 20050415 | | |
| JP 2002522378 | T2 | 20020723 | JP 2000-563258 | 19990803 <-- |
| AT 220542 | E | 20020815 | AT 1999-944325 | 19990803 <-- |
| PT 1100474 | T | 20021231 | PT 1999-944325 | 19990803 <-- |
| ES 2180325 | T3 | 20030201 | ES 1999-944325 | 19990803 <-- |
| SK 283568 | B6 | 20030911 | SK 2001-169 | 19990803 <-- |
| NZ 509977 | A | 20031128 | NZ 1999-509977 | 19990803 <-- |
| TW 221420 | B1 | 20041001 | TW 1999-88113240 | 19990803 <-- |
| BG 105189 | A | 20010731 | BG 2001-105189 | 20010126 <-- |
| BG 64115 | B1 | 20040130 | | |
| ZA 2001000796 | A | 20020529 | ZA 2001-796 | 20010129 <-- |
| NO 2001000535 | A | 20010131 | NO 2001-535 | 20010131 <-- |
| US 2001008637 | A1 | 20010719 | US 2001-800647 | 20010307 <-- |
| HK 1037975 | A1 | 20041210 | HK 2001-108874 | 20011219 <-- |
| US 2004131668 | A1 | 20040708 | US 2003-740225 | 20031218 <-- |
| PRIORITY APPLN. INFO.: | | | DE 1998-19835346 | A 19980805 <-- |
| | | | US 1998-113214P | P 19981222 <-- |
| | | | US 1999-365912 | A1 19990803 <-- |
| | | | WO 1999-EP5614 | W 19990803 <-- |
| | | | US 2001-800647 | A1 20010307 <-- |

AB Capsules for pharmaceutical preps. for use in dry powder inhalers with increased drug safety consist of water-insol., hydrophobic plastics which do not substantially affect the pharmaceutical quality of the contents, but improve their useful life and/or the geog. range of their use (especially with regard to humidity). The capsules have a Shore hardness of 65-73, such that during opening or puncture of the capsule, no capsule fragments are produced which could be inhaled, and that the capsule cannot spontaneously reseal after opening or puncture. They can withstand a force of ≤15 N in all directions during manufacture, filling, packing, and transport. The capsules have a permeability for water vapor of <1.3 + 10-14 kg/(m² s Pa).

L18 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:528673 CAPLUS
 DOCUMENT NUMBER: 122:274076
 TITLE: Process for conditioning substances
 INVENTOR(S): Trofast, Eva Ann-Christin; Briggner, Lars-Erik
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-------|----------|------------------|--------------|
| ----- | ----- | ----- | ----- | ----- |
| WO 9505805 | A1 | 19950302 | WO 1994-SE780 | 19940825 <-- |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN,
MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US,
UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9405675 | A | 19960429 | ZA 1994-5675 | 19940729 <-- |
| TW 427916 | B | 20010401 | TW 1994-83107152 | 19940804 <-- |
| IL 110698 | A1 | 20021110 | IL 1994-110698 | 19940818 <-- |
| CA 2170394 | AA | 19950302 | CA 1994-2170394 | 19940825 <-- |
| CA 2170394 | C | 20041012 | | |

| | | | | |
|---|----|----------|----------------|----------------|
| AU 9476264 | A1 | 19950321 | AU 1994-76264 | 19940825 <-- |
| AU 681186 | B2 | 19970821 | | |
| BR 9407320 | A | 19960416 | BR 1994-7320 | 19940825 <-- |
| EP 717616 | A1 | 19960626 | EP 1994-926421 | 19940825 <-- |
| EP 717616 | B1 | 20010321 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1133004 | A | 19961009 | CN 1994-193793 | 19940825 <-- |
| CN 1049333 | B | 20000216 | | |
| HU 74000 | A2 | 19961028 | HU 1996-447 | 19940825 <-- |
| HU 217770 | B | 20000428 | | |
| JP 09501930 | T2 | 19970225 | JP 1994-507516 | 19940825 <-- |
| JP 2978247 | B2 | 19991115 | | |
| PL 176749 | B1 | 19990730 | PL 1994-313142 | 19940825 <-- |
| RU 2148992 | C1 | 20000520 | RU 1996-105935 | 19940825 <-- |
| AT 199828 | E | 20010415 | AT 1994-926421 | 19940825 <-- |
| ES 2156158 | T3 | 20010616 | ES 1994-926421 | 19940825 <-- |
| PT 717616 | T | 20010830 | PT 1994-926421 | 19940825 <-- |
| CZ 289018 | B6 | 20011017 | CZ 1996-544 | 19940825 <-- |
| SK 283146 | B6 | 20030304 | SK 1996-234 | 19940825 <-- |
| US 5709884 | A | 19980120 | US 1995-379471 | 19950130 <-- |
| NO 9600744 | A | 19960223 | NO 1996-744 | 19960223 <-- |
| NO 312433 | B1 | 20020513 | | |
| FI 9600869 | A | 19960226 | FI 1996-869 | 19960226 <-- |
| CN 1195523 | A | 19981014 | CN 1997-123049 | 19971126 <-- |
| CN 1090019 | B | 20020904 | | |
| HK 1016493 | A1 | 20030425 | HK 1999-101600 | 19990414 <-- |
| GR 3036106 | T3 | 20010928 | GR 2001-400955 | 20010621 <-- |
| PRIORITY APPLN. INFO.: | | | SE 1993-2777 | A 19930827 <-- |
| | | | WO 1994-SE780 | W 19940825 <-- |

AB The present invention relates to a process for providing a stable crystalline form to a fine-grained substance or a substance mixture, which can be produced, stored and used while maintaining the aerodynamic properties required for inhalation of such a substance or a substance mixture, by a) in case of a substance mixture, preparing a homogeneous mixture of the substances; b) micronizing, direct precipitating or diminishing by any conventional method the substance or substance mixture into a particle size required for inhalation, the particle size being less than 10 µm; c) optionally preparing a homogeneous mixture of the desired substances when each substance has been introduced from stage b) as sep. fine-grained particles; d) conditioning said substance or substance mixture by treatment with a water containing vapor phase in a controlled fashion; and e) drying.

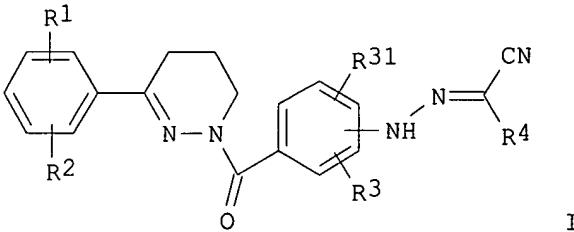
=> d L19 1-7 ibib abs

L19 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:376641 CAPLUS
 DOCUMENT NUMBER: 138:385438
 TITLE: Preparation of pyridazinylmethanoylphenylhydrazonomalo nitriles as phosphodiesterase IV inhibitors.
 INVENTOR(S): Eggenweiler, Hans-Michael; Wolf, Michael; Beier, Norbert; Schelling, Pierre; Ehring, Thomas
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl., 114 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|-------|----------|-----------------|--------------|
| ----- | ----- | ----- | ----- | ----- |
| WO 2003039548 | A1 | 20030515 | WO 2002-EP11351 | 20021010 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG
 CA 2465746 AA 20030515 CA 2002-2465746 20021010 <--
 EP 1441730 A1 20040804 EP 2002-802625 20021010 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 BR 2002013683 A 20041026 BR 2002-13683 20021010 <--
 CN 1585641 A 20050223 CN 2002-822216 20021010 <--
 JP 2005511595 T2 20050428 JP 2003-541839 20021010 <--
 US 2004261190 A1 20041230 US 2004-494631 20040504 <--
 PRIORITY APPLN. INFO.: EP 2001-125455 A 20011105 <--
 WO 2002-EP11351 W 20021010

OTHER SOURCE(S): MARPAT 138:385438
GI



AB Title compds. [I; R1, R2 = H, OH, OR5, SR5, SOR5, SO2R5, X; R1R2 = OCH2O, OCH2CH2O; R3, R31 = H, R5, OH, OR5, NH2, NHR5, NHCOR5, X, CO2H, CO2R5, CONH2, etc.; R4 = cyano, tetrazolyl; R5 = (fluoro-substituted) A, cycloalkyl, (CH2)nAr; A = (fluoro- and/or chloro-substituted) alkyl, alkenyl; Ar = Ph; n = 0-2; X = F, Cl, Br, iodo], were prepared Thus, [3-(3,4-diethoxyphenyl)-5,6-dihydro-4H-pyridazine-1-yl]-(3-aminophenyl)methanone (preparation given) was stirred with NaNO2 in aq . HCl for 1 h at -2° to 0°; malononitrile in H2O was added followed by stirring for 2 h to give a residue which was treated with KOH in MeOH to give 2-[3-[1-[3-(3,4-diethoxyphenyl)-5,6-dihydro-4H-pyridazin-1-yl]methanoyl]phenyl]hydrazone]malononitrile K salt. I were said to give a marked reduction of T cell proliferation. I are claimed for treatment of osteoporosis, tumors, cachexia, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, inflammatory processes, allergies, asthma, autoimmune diseases, myocardial diseases, AIDS, etc.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:257320 CAPLUS

DOCUMENT NUMBER: 138:260488

TITLE: Method for the production of sterile liquid preparations for inhalation

INVENTOR(S): Keller, Manfred; Lintz, Frank

PATENT ASSIGNEE(S): Pari GmbH, Germany

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------------|
| DE 10145361 | A1 | 20030403 | DE 2001-10145361 | 20010914 <-- |
| EP 1417958 | A1 | 20040512 | EP 2002-25006 | 20021108 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CA 2475577 | AA | 20040521 | CA 2003-2475577 | 20031028 |
| WO 2004041253 | A1 | 20040521 | WO 2003-EP11949 | 20031028 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003279326 | A1 | 20040607 | AU 2003-279326 | 20031028 |
| EP 1558217 | A1 | 20050803 | EP 2003-772269 | 20031028 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2006057073 | A1 | 20060316 | US 2004-517910 | 20041208 |
| PRIORITY APPLN. INFO.: | | | DE 2001-10145361 | A 20010914 <-- |
| | | | EP 2002-25006 | A 20021108 |
| | | | WO 2003-EP11949 | W 20031028 |

AB The invention concerns the production of sterile **aq.** inhalation aerosols containing slightly soluble drugs by (a) preparing an **aq.** suspension containing drug particles larger than 1 μm and a dissolved surfactant; (b) reduction of the particle size by high pressure homogenization or collision jet grinding to obtain particles less than 1 μm ; (c) heat treatment of the suspension for sterilization, the final average particle size is less than 2 μm . The inhalants are formulated for pulmonary and nasal use. Suspensions can be nebulized by aerosol nozzles, ultrasound, vibrating membranes with defined pore sizes or electrohydrodynamically.

L19 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:671829 CAPLUS
DOCUMENT NUMBER: 137:206550
TITLE: Inhalatory compositions of formoterol
INVENTOR(S): Gagnoni, Alessandro; Meoli, Andrea; Vanossi, Sereno
PATENT ASSIGNEE(S): Chemo Healthcare S.A., Switz.
SOURCE: Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| EP 1236467 | A1 | 20020904 | EP 2002-4635 | 20020228 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CA 2374257 | AA | 20020902 | CA 2002-2374257 | 20020301 <-- |
| US 2002155068 | A1 | 20021024 | US 2002-86868 | 20020304 <-- |
| US 6719994 | B2 | 20040413 | | |

PRIORITY APPLN. INFO.: IT 2001-MI428 A 20010302 <--

AB Inhalatory pharmaceutical compns. containing formoterol as active ingredient, comprises a vial containing a sterile liquid vehicle suitable for inhalation, a reservoir chamber cap containing a powder mixture consisting of Formoterol or a

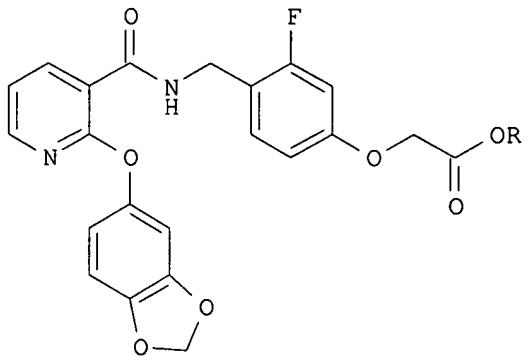
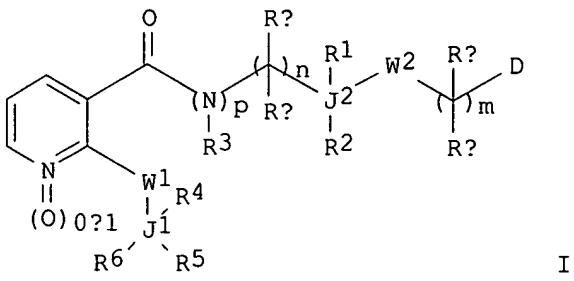
related salt in micronized form and one or more excipients, soluble in the vehicle and suitable for respiratory use. The composition comprises a further active ingredient, i.e., **budesonide**, **fluticasone**, **flunisolide**, **mometasone** or **ipratropium bromide**.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:594842 CAPLUS
DOCUMENT NUMBER: 137:154859
TITLE: Preparation of carbamoyl-substituted pyridinyl aryl ether derivatives as inhibitors of phosphodiesterase IV isozymes
INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| WO 2002060896 | A1 | 20020808 | WO 2001-IB2726 | 20011224 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2436544 | AA | 20020808 | CA 2001-2436544 | 20011224 <-- |
| EE 200300361 | A | 20031215 | EE 2003-361 | 20011224 <-- |
| EP 1373258 | A1 | 20040102 | EP 2001-273558 | 20011224 <-- |
| EP 1373258 | B1 | 20050928 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001016845 | A | 20040225 | BR 2001-16845 | 20011224 <-- |
| JP 2004518689 | T2 | 20040624 | JP 2002-561464 | 20011224 <-- |
| CN 1527830 | A | 20040908 | CN 2001-823098 | 20011224 <-- |
| NZ 526531 | A | 20050225 | NZ 2001-526531 | 20011224 <-- |
| AT 305467 | E | 20051015 | AT 2001-273558 | 20011224 <-- |
| US 2003027845 | A1 | 20030206 | US 2002-66503 | 20020131 <-- |
| US 6828333 | B2 | 20041207 | | |
| ZA 2003004893 | A | 20040624 | ZA 2003-4893 | 20030624 <-- |
| BG 107960 | A | 20041029 | BG 2003-107960 | 20030701 <-- |
| NO 2003003399 | A | 20030925 | NO 2003-3399 | 20030730 <-- |
| US 2005049258 | A1 | 20050303 | US 2004-918820 | 20040813 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-265304P | P 20010131 <-- |
| | | | WO 2001-IB2726 | W 20011224 <-- |
| | | | US 2002-66503 | A3 20020131 |

OTHER SOURCE(S): MARPAT 137:154859
GI



AB Title compds. compds. I [wherein p = 0-1, provided that when p = 0, n = 2; m = 1-3; n = 1-2; W1 and W2 = independently O, S(O)0-2, or NR3; Y = =C(R1a) or N(O)0-1; R1a = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, fluoroalkoxy, OR16, or (un)substituted carbamoyl; RA and RB = independently H, F, CF3, or (un)substituted (cyclo)alkyl, Ph, or benzyl; or CRARB = spiro moiety; RC and RD = the same as RA and RB except that one of them must be H; R1 and R2 = independently H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, OR16, or (un)substituted carbamoyl; R3 = H, alkyl, Ph, benzyl, or OR16; R4, R5 and R6 = independently H, F, Cl, alkynyl, R16, OR16, SO0-2R16, COR16, CO2R16, OCOR16, CN, NO2, (un)substituted carbamoyl(oxy), ureido, carboximidoyl, aryl, heterocyclyl, etc.; or R5 and R6 taken together with the atoms to which they are attached = (hetero)cyclyl; J1 and J2 = independently (un)substituted, (un)saturated monocyclic or fused polycyclic ring; D = (un)substituted carboxy, carbamoyl, acyl, hydroxy(alkyl), cyano(alkyl), etc.; R16 = H or (un)substituted (cyclo)alkyl, alkenyl, Ph, benzyl, or pyridyl] were prepared as inhibitors of PDE4 (no data). For example, 2-(benzo[1,3]dioxol-5-yloxy)nicotinic acid was coupled with (4-aminomethyl-3-fluorophenoxy)acetic acid Me ester in the presence of 1-hydroxybenzotriazole•H2O and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide•HCl in DMF/CH2Cl2 to give the pyridinecarboxamide II (R = Me) in 38% yield. Saponification using aq. LiOH in THF and MeOH afforded the desired acid II (R = OH) in 21% yield. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addition, I may be used in combination therapy with a wide variety of other therapeutic agents.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:591707 CAPLUS

DOCUMENT NUMBER: 137:140509

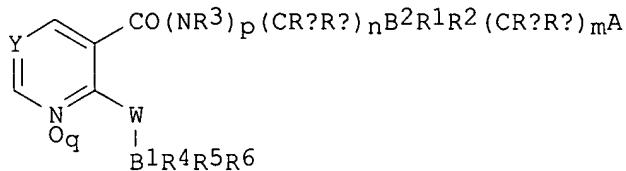
TITLE: Preparation of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isozymes

INVENTOR(S): Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony

PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 180 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------|---------------------------------|-------------------------|------------------------|----------------|
| EP 1229034 | A1 | 20020807 | EP 2002-250202 | 20020111 <-- |
| EP 1229034 | B1 | 20050413 | | |
| R: AT, BE, CH, IE, SI, LT, | DE, DK, ES, FR, LV, FI, RO, MK, | GB, GR, IT, LI, CY, AL, | LU, NL, SE, MC, PT, TR | |
| AT 293109 | E | 20050415 | AT 2002-250202 | 20020111 <-- |
| ES 2239203 | T3 | 20050916 | ES 2002-2250202 | 20020111 <-- |
| CA 2369462 | AA | 20020731 | CA 2002-2369462 | 20020129 <-- |
| US 2002111495 | A1 | 20020815 | US 2002-62811 | 20020131 <-- |
| BR 2002000250 | A | 20021008 | BR 2002-250 | 20020131 <-- |
| US 2004171798 | A1 | 20040902 | US 2004-781062 | 20040217 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-265240P | P 20010131 <-- |
| | | | US 1997-43403P | P 19970404 <-- |
| | | | US 1998-105120P | P 19981021 <-- |
| | | | US 2002-62811 | B1 20020131 |

OTHER SOURCE(S): MARPAT 137:140509
 GI



AB Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO₂R₇, CONR₉CO₂R₇, CONR₇R₉, OP(O)(OH)₂, SO₃H, acylsulfonamido, etc.; W = O, S, SO, SO₂, NR₃; Y = N, NO, CR₁₁; R₁, R₂ = H, F, Cl, cyano, NO₂, alkyl, alkynyl, fluoroalkyl, etc.; R₃ = H, alkyl, Ph, PhCH₂, etc.; R₄-R₆ = H, F, Cl, alkynyl, cyano, NO₂, etc.; R₇ = H, (substituted) alkyl, alkenyl, alkynyl; R₉ = H, alkyl, cycloalkyl, Ph, PhCH₂, pyridyl, etc.; R₁₁ = H, F, Cl, cyano, NO₂, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF₃, alkyl, (substituted) cycloalkyl, Ph, PhCH₂; B₁, B₂ = 3-7 membered (hetero)cyclol, 7-12 membered poly(hetero)cyclol; pairs of variables may form rings; with provisos], were prepared (no data). Thus, Me 2-[4-[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me₃COH. Aq. NaOH was added to the suspension, and the reaction mixture was refluxed 1 h to give 2-[4-[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionic acid.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:152458 CAPLUS
 DOCUMENT NUMBER: 134:183526
 TITLE: Method to produce powders for pulmonary or nasal administration
 INVENTOR(S): Wolfe, Austen John; Zeng, Xian Ming; Langford, Alan
 PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK
 SOURCE: PCT Int. Appl., 18 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 200101013885 | A1 | 20010301 | WO 2000-GB3230 | 20000821 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2382216 | AA | 20010301 | CA 2000-2382216 | 20000821 <-- |
| JP 2003526629 | T2 | 20030909 | JP 2001-518024 | 20000821 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-150095P | P 19990820 <-- |
| | | | WO 2000-GB3230 | W 20000821 <-- |

AB A pharmaceutical formulation comprises a mixture of two or more drugs optionally together with one or more excipients, the mixture being formed by the steps of: co-crystallization or co-precipitation of the drugs followed by micronization or milling to produce a uniform powder having a particle size and other properties suitable for formulation for pulmonary or nasal administration. An **aq.** solution of 5% salbutamol sulfate:ipratropium bromide (10:1) mixture was prepared and was spray dried. The diameter of particles was less than 3 μm .

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1989:13580 CAPLUS
 DOCUMENT NUMBER: 110:13580
 TITLE: Formation of dry liposomes and their administration as aerosols
 INVENTOR(S): Axelsson, Bengt Ingemar; Bystroem, Ulla Katarina;
 Dahlbaeck, Carl Magnus Olof; Kaellstroem, Leif Arne;
 Nilsson, Per Gunnar; Trofast, Jan William
 PATENT ASSIGNEE(S): Draco AB, Swed.
 SOURCE: Eur. Pat. Appl., 12 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| EP 260241 | A1 | 19880316 | EP 1987-850273 | 19870908 <-- |
| R: ES, GR | | | | |
| ZA 8706641 | A | 19880427 | ZA 1987-6641 | 19870904 <-- |
| WO 8801862 | A1 | 19880324 | WO 1987-SE401 | 19870908 <-- |
| W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU,
MC, MG, MW, NL, NO, RO, SD, SE, SU | | | | |
| RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL,
SE, SN, TD, TG | | | | |
| AU 8779133 | A1 | 19880407 | AU 1987-79133 | 19870908 <-- |
| AU 603139 | B2 | 19901108 | | |
| EP 282537 | A1 | 19880921 | EP 1987-906023 | 19870908 <-- |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| JP 01500668 | T2 | 19890309 | JP 1987-505390 | 19870908 <-- |
| HU 47840 | A2 | 19890428 | HU 1987-4531 | 19870908 <-- |

| | | | | |
|------------------------|----|----------|----------------|----------------|
| HU 198835 | B | 19891228 | | |
| CA 1256798 | A1 | 19890704 | CA 1987-546527 | 19870910 <-- |
| DK 8802473 | A | 19880506 | DK 1988-2473 | 19880506 <-- |
| FI 8802221 | A | 19880511 | FI 1988-2221 | 19880511 <-- |
| NO 8802077 | A | 19880511 | NO 1988-2077 | 19880511 <-- |
| PRIORITY APPLN. INFO.: | | | SE 1986-3812 | A 19860912 <-- |
| | | | WO 1987-SE401 | A 19870908 <-- |

AB A system for administration of liposomes comprises a dry lipid-based solid material, which spontaneously forms or reconstitutes liposomes in an aq. medium, i.e., in vivo; the system also comprises a device for aerosolizing selected quantities of the dry liposomes. The system is especially

used for inhalation of drugs e.g. antiasthmatics. Dipalmitoyl phosphatidylcholine 7.22 and flumethasone 21-palmitate 0.38 were dissolved in tert-BuOH 76 g under gentle heating; the solution was frozen and lyophilized and the resulting powder was dispersed in aq. 3.3% lactose (432 g solution). The liposome dispersion was spray-dried to give a powder suitable for inhalation therapy (<3 µm); 2.8 g of the lyophilized micronized powder was dispersed in 434 g chilled 65:35 propellant 114 - propellant 115 mixture, and the blend was filled into Al containers and sealed with 50 µL valves. Rats given Sephadex beads by intratracheal instillation were exposed to the aerosol daily for 3 consecutive days. Rats treated with different doses from the pressurized dose-aerosols showed a significant dose-response relationship; the high dose level (doses not given) inhibited the development of lung edema and the animals showed the same lung weight as normal untreated controls. Controls implanted with Sephadex and treated with placebo pressurized dose-aerosols lacking the spray-dried powder developed pulmonary edema.